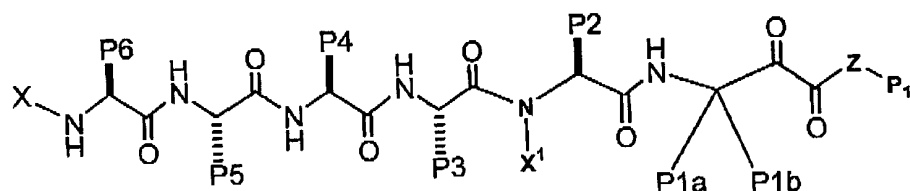


## PATENT CASE IN01157K

CLEAN COPY OF ELECTED CLAIMS

What is claimed is:

1. A compound, including enantiomers, stereoisomers, rotomers and  
5 tautomers of said compound, and pharmaceutically acceptable salts, solvates or  
derivatives thereof, with said compound having the general structure shown in  
Formula I:



Formula I

10 wherein:

Z is O, NH or NR<sup>12</sup>:

X is alkylsulfonyl, heterocyclisulfonyl, heterocyclalkylsulfonyl, arylsulfonyl,  
heteroarylsulfonyl, alkylcarbonyl, heterocyclcarbonyl,  
heterocyclalkylcarbonyl, arylcarbonyl, heteroarylcarbonyl, alkoxycarbonyl,  
15 heterocyclloxycarbonyl, aryloxycarbonyl, heteroaryloxycarbonyl,  
alkylaminocarbonyl, heterocyclaminocarbonyl, arylaminocarbonyl, or  
heteroarylaminocarbonyl moiety, with the proviso that X may be additionally  
optionally substituted with R<sup>12</sup> or R<sup>13</sup>;

20 X<sup>1</sup> is H; C<sub>1</sub>-C<sub>4</sub> straight chain alkyl; C<sub>1</sub>-C<sub>4</sub> branched alkyl or ; CH<sub>2</sub>-aryl (substituted  
or unsubstituted);

R<sup>12</sup> is alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkyl-alkyl, heterocycl,  
heterocyclalkyl, aryl, alkylaryl, arylalkyl, heteroaryl, alkylheteroaryl, or  
heteroarylalkyl moiety, with the proviso that R<sup>12</sup> may be additionally  
optionally substituted with R<sup>13</sup>.

25 R<sup>13</sup> is hydroxy, alkoxy, aryloxy, thio, alkylthio, arylthio, amino, alkylamino,  
arylamino, alkylsulfonyl, arylsulfonyl, alkylsulfonamido, arylsulfonamido,  
carboxy, carbalkoxy, carboxamido, alkoxycarbonylamino, alkoxycarbonyloxy,  
alkylureido, arylureido, halogen, cyano, or nitro moiety, with the proviso that  
30 the alkyl, alkoxy, and aryl may be additionally optionally substituted with  
moieties independently selected from R<sup>13</sup>.

P1a, P1b, P2, P3, P4, P5, and P6 are independently:

H; C1-C10 straight or branched chain alkyl; C2-C10 straight or branched chain alkenyl;

C3-C8 cycloalkyl, C3-C8 heterocyclic; (cycloalkyl)alkyl or (heterocycl)alkyl,

wherein said cycloalkyl is made up of 3 to 8 carbon atoms, and zero to 6 oxygen, nitrogen, sulfur, or phosphorus atoms, and said alkyl is of 1 to 6 carbon atoms;

aryl, heteroaryl, arylalkyl, or heteroarylalkyl, wherein said alkyl is of 1 to 6 carbon atoms;

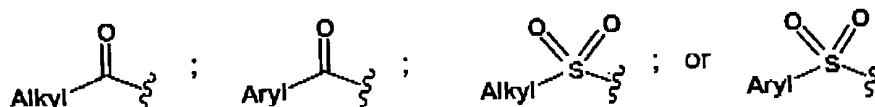
wherein said alkyl, alkenyl, cycloalkyl, heterocycl; (cycloalkyl)alkyl and (heterocycl)alkyl moieties may be optionally substituted with R<sup>13</sup>, and

further wherein said P1a and P1b may optionally be joined to each other to form a spirocyclic or spiroheterocyclic ring, with said spirocyclic or spiroheterocyclic ring containing zero to six oxygen, nitrogen, sulfur, or

phosphorus atoms, and may be additionally optionally substituted with R<sup>13</sup>; and

P1' is H, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkyl-alkyl, heterocycl, heterocycl-alkyl, aryl, aryl-alkyl, heteroaryl, or heteroaryl-alkyl; with the proviso that said P1' may be additionally optionally substituted with R<sup>13</sup>.

2. The compound of claim 1, wherein X is selected from the group consisting of:



wherein Alkyl is a C1 to C4 straight or branched chain, and Aryl is a phenyl or substituted phenyl.

3. The compound of claim 2, wherein X is -CO-CH<sub>3</sub>.

4. The compound of claim 2, wherein X is -CO-phenyl.

5. The compound of claim 1, wherein P5 and P6 are the same and are:

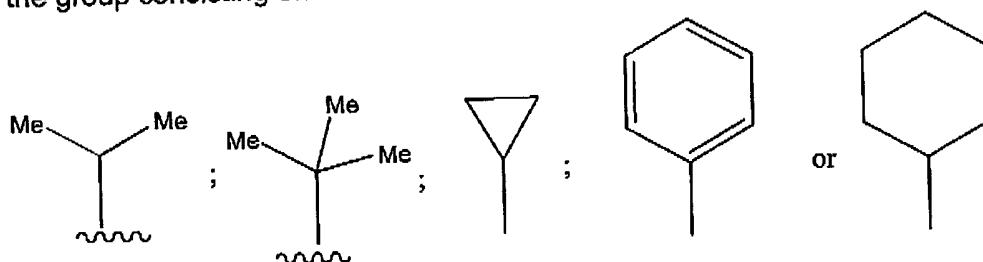
-(CH<sub>2</sub>)<sub>n</sub>-C(O)-R<sup>1</sup>, where n= 1-4 and R<sup>1</sup> is OH, O-*t*-Bu, OR<sup>3</sup>, NHR<sup>3</sup>, NH-phenyl or

NH-trityl, with R<sup>3</sup> being selected from H, C<sub>1</sub>-C<sub>4</sub> straight or branched chain alkyl.

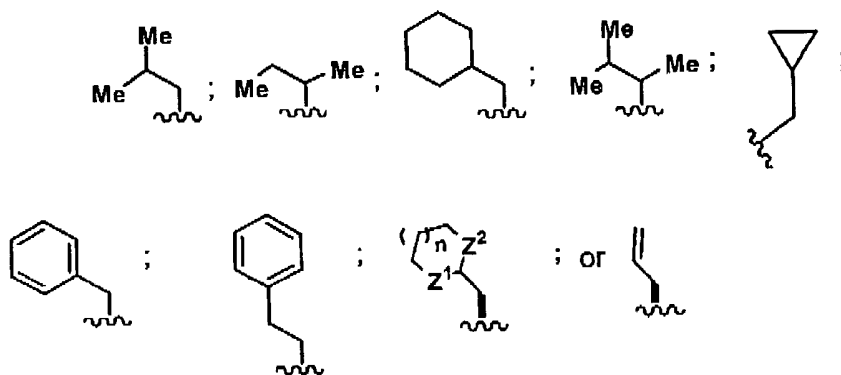
6. The compound of claim 1, wherein P5 and P6 are different and are:

$-(CH_2)_n-C(O)-R^1$ , where  $n = 1-4$  and  $R^1$  is OH, O-*t*-Bu,  $OR^3$ ,  $NHR^3$ , NH-phenyl or NH-trityl, with  $R^3$  being selected from H, C<sub>1</sub>-C<sub>4</sub> straight or branched chain alkyl.

7. The compound of claim 5, wherein P5 and P6 are  $-CH_2-CH_2-C(O)-O-C(CH_3)_3$  or  $-CH_2-CH_2-C(O)-OH$ .
8. The compound of claim 6, wherein P5 and P6 are independently selected from  $-CH_2-CH_2-C(O)-O-C(CH_3)_3$  or  $-CH_2-CH_2-C(O)-OH$ .
9. The compound of claim 1, wherein P3 and P4 are the same.
10. The compound of claim 1, wherein P3 and P4 are different.
11. The compound of claim 1, wherein P3 and P4 are independently selected from the group consisting of:

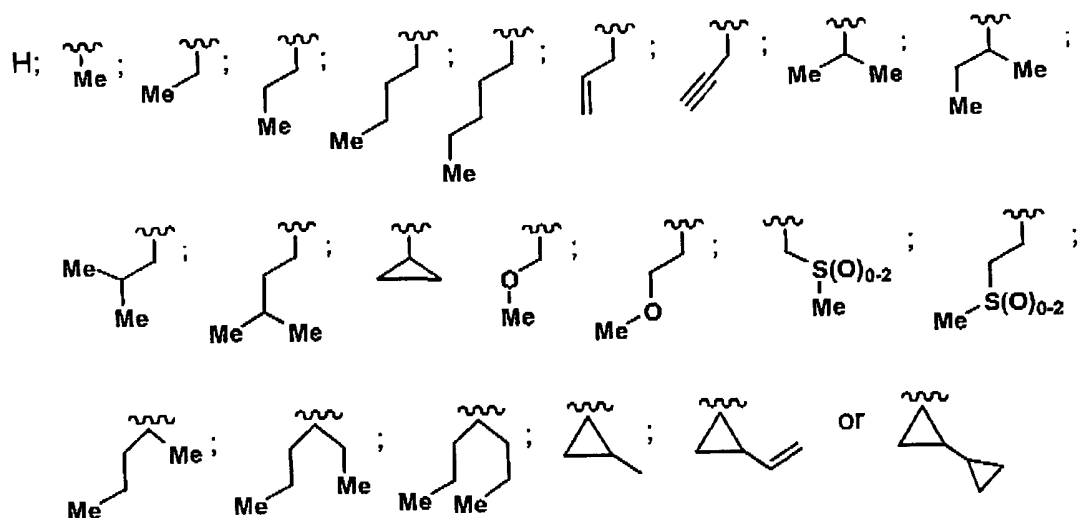


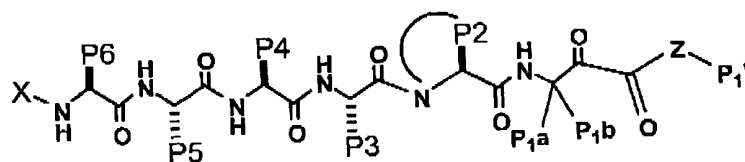
12. The compound of claim 1, wherein P2 is selected from the group consisting of:



- wherein  $n$  is 0, 1, 2 or 3.

13. The compound of claim 1, wherein P1a and P1b are independently selected from the group consisting of:





Formula II

wherein:

Z is O, NH or NR<sup>12</sup>;

X is alkylsulfonyl, heterocyclisulfonyl, heterocyclialkylsulfonyl, arylsulfonyl,

5 heteroarylsulfonyl, alkylcarbonyl, heterocyclcarbonyl,  
heterocyclialkylcarbonyl, arylcarbonyl, heteroarylcarbonyl, alkoxycarbonyl,  
heterocycloxy carbonyl, aryloxy carbonyl, heteroaryloxy carbonyl,  
alkylaminocarbonyl, heterocyclaminocarbonyl, arylaminocarbonyl, or  
heteroarylaminocarbonyl moiety, with the proviso that X may be additionally  
10 optionally substituted with R<sup>12</sup> or R<sup>13</sup>;

R<sup>12</sup> is alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkyl-alkyl, heterocycl,  
heterocyclialkyl, aryl, alkylaryl, arylalkyl, heteroaryl, alkylheteroaryl, or  
heteroarylalkyl moiety, with the proviso that R<sup>12</sup> may be additionally  
optionally substituted with R<sup>13</sup>;

15 R<sup>13</sup> is hydroxy, alkoxy, aryloxy, thio, alkylthio, arylthio, amino, alkylamino,  
arylamino, alkylsulfonyl, arylsulfonyl, alkylsulfonamido, arylsulfonamido,  
carboxy, carbalkoxy, carboxamido, alkoxycarbonylamino, alkoxycarbonyloxy,  
alkylureido, arylureido, halogen, cyano, or nitro moiety, with the proviso that  
the alkyl, alkoxy, and aryl may be additionally optionally substituted with  
20 moieties independently selected from R<sup>13</sup>;

P<sub>1a</sub>, P<sub>1b</sub>, P<sub>2</sub>, P<sub>3</sub>, P<sub>4</sub>, P<sub>5</sub>, and P<sub>6</sub> are independently:

H; C<sub>1</sub>-C<sub>10</sub> straight or branched chain alkyl; C<sub>2</sub>-C<sub>10</sub> straight or branched  
chain alkenyl;

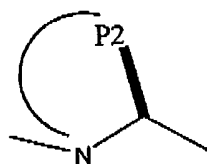
25 C<sub>3</sub>-C<sub>8</sub> cycloalkyl, C<sub>3</sub>-C<sub>8</sub> heterocyclic; (cycloalkyl)alkyl or (heterocycl)alkyl ,  
wherein said cycloalkyl is made up of 3 to 8 carbon atoms, and zero to six  
oxygen, nitrogen, sulfur, or phosphorus atoms, and said alkyl is of 1 to 6  
carbon atoms; or

aryl, heteroaryl, arylalkyl, or heteroarylalkyl, wherein said alkyl is of 1 to 6 carbon atoms;

wherein said alkyl, alkenyl, cycloalkyl, heterocyclyl, (cycloalkyl)alkyl and (heterocyclyl)alkyl moieties may be optionally substituted with R13 and further

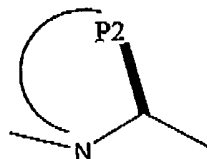
- 5 wherein said P1 may optionally be a spirocyclic or spiroheterocyclic ring, with said spirocyclic or spiroheterocyclic ring containing zero to six oxygen, nitrogen, sulfur, or phosphorus atoms, and may be additionally optionally substituted with R13; and

- P1' is H, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkyl-alkyl, heterocyclyl, 10 heterocyclyl-alkyl, aryl, aryl-alkyl, heteroaryl, or heteroaryl-alkyl; with the proviso that said P1' may be additionally optionally substituted with R13; and



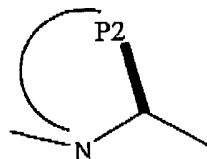
indicates a cyclic ring structure, with the proviso that said cyclic ring structure does not contain a carbonyl group as part of the cyclic ring.

- 15 17. The compound of Claim 16, wherein said



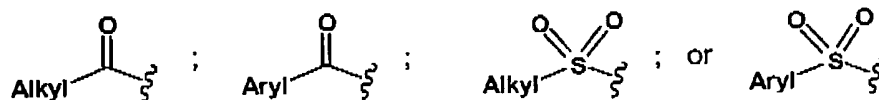
indicates a five-membered ring.

18. The compound of Claim 16, wherein said



- 20 indicates a six-membered ring.

19. The compound of claim 16, wherein X is selected from the group consisting of:



wherein Alkyl is a C1 to C4 straight or branched chain, and Aryl is a phenyl or substituted phenyl.

20. The compound of claim 19, wherein X is  $-\text{CO}-\text{CH}_3$ .

21. The compound of claim 19, wherein X is  $-\text{CO}-\text{phenyl}$ .

5 22. The compound of claim 16, wherein P5 and P6 are the same and are:  $-(\text{CH}_2)_n-\text{C}(\text{O})-\text{R}^1$ , where  $n=1-4$  and  $\text{R}^1$  is OH, O-*t*-Bu,  $\text{OR}^3$ ,  $\text{NHR}^3$ , NH-phenyl or NH-trityl, with  $\text{R}^3$  being selected from H, C<sub>1</sub>-C<sub>4</sub> straight or branched chain alkyl.

23. The compound of claim 16, wherein P5 and P6 are different and are:  $-(\text{CH}_2)_n-\text{C}(\text{O})-\text{R}^1$ , where  $n=1-4$  and  $\text{R}^1$  is OH, O-*t*-Bu,  $\text{OR}^3$ ,  $\text{NHR}^3$ , NH-phenyl or  
10 NH-trityl, with  $\text{R}^3$  being selected from H, C<sub>1</sub>-C<sub>4</sub> straight or branched chain alkyl.

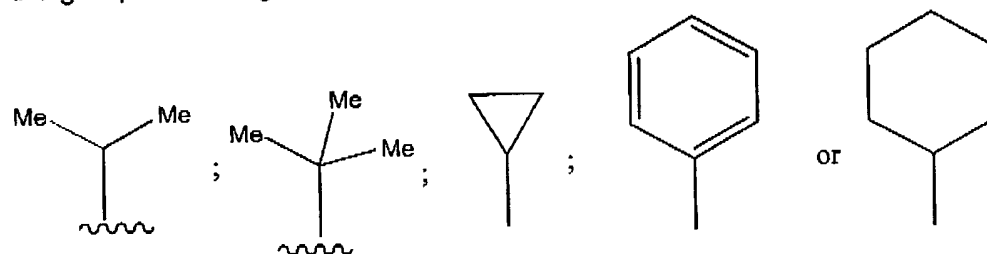
24. The compound of claim 22, wherein P5 and P6 are  $-\text{CH}_2-\text{CH}_2-\text{C}(\text{O})-\text{O}-\text{C}(\text{CH}_3)_3$  or  $-\text{CH}_2-\text{CH}_2-\text{C}(\text{O})-\text{OH}$ .

25. The compound of claim 23, wherein P5 and P6 are independently selected from  $-\text{CH}_2-\text{CH}_2-\text{C}(\text{O})-\text{O}-\text{C}(\text{CH}_3)_3$  or  $-\text{CH}_2-\text{CH}_2-\text{C}(\text{O})-\text{OH}$ .

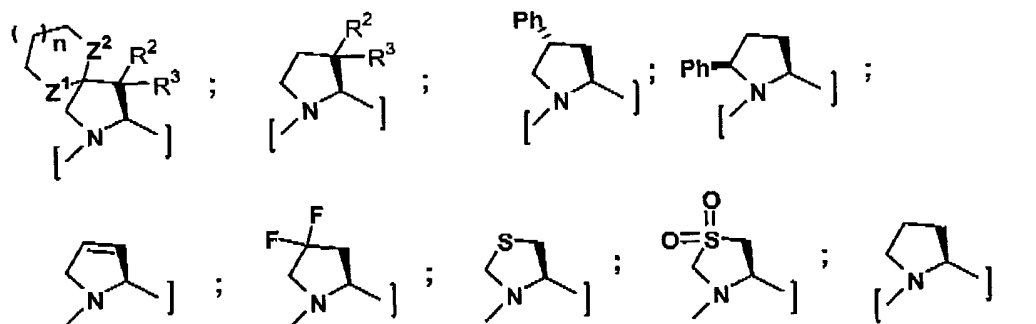
15 26. The compound of claim 16, wherein P3 and P4 are the same.

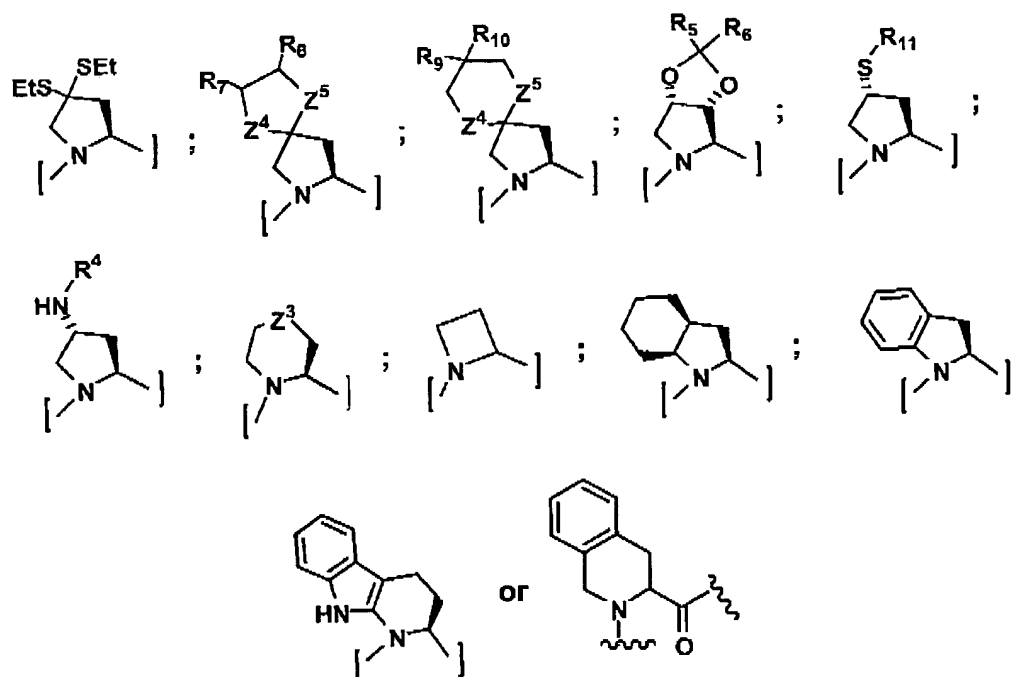
27. The compound of claim 16, wherein P3 and P4 are different.

28. The compound of claim 16, wherein P3 and P4 are independently selected from the group consisting of:



20 29. The compound of claim 16, wherein P2 is selected from the group consisting of:





wherein  $n = 0, 1, 2$ , or  $3$ ; and

$R^2 = R^3 = H$ ;  $R^2 = C_1$  to  $C_6$  straight chain alkyl or cycloalkyl;  $R^3 = H$

$R^4 = COAlkyl$  (straight chain or cyclic,  $G_1$  to  $C_6$ );  $COAryl$ ;  $COOAlkyl$ ;  $COOAryl$

$R^5 = H$ ;  $R^6 = Alkyl$  ( $C_1$  to  $C_3$ );  $R^6 = H$ ;  $R^5 = Alkyl$  ( $C_1$  to  $C_3$ )

$R^7 = H$ ;  $R^8 = Alkyl$  ( $C_1$  to  $C_3$ ),  $CH_2OH$ ;  $R^8 = H$ ;  $R^7 = Alkyl$  ( $C_1$  to  $C_3$ ),  $CH_2OH$ ;

5

$R^7 = R^8 = Alkyl$  ( $C_1$  to  $C_3$ ),  $CH_2OH$

$R^9 = R^{10} = Alkyl$  ( $C_1$  to  $C_3$ );  $R^9 = H$ ,  $R^{10} = Alkyl$  ( $C_1$  to  $C_3$ ),  $COOMe$ ,  $COOH$ ,  $CH_2OH$ ;

$R^{10} = H$ ,  $R^9 = Alkyl$  ( $C_1$  to  $C_3$ ),  $COOMe$ ,  $COOH$ ,  $CH_2OH$ ;

$R^{11} = Alkyl$  ( $C_1$  to  $C_6$  straight chain, branched or cyclic),  $CH_2Aryl$  (may be substituted)

$Z^1 = Z^2 = S, O$ ;  $Z^1 = S, Z^2 = O$ ;  $Z^1 = O, Z^2 = S$ ;  $Z^1 = CH_2, Z^2 = O$ ;  $Z^1 = O, Z^2 = CH_2$ ;

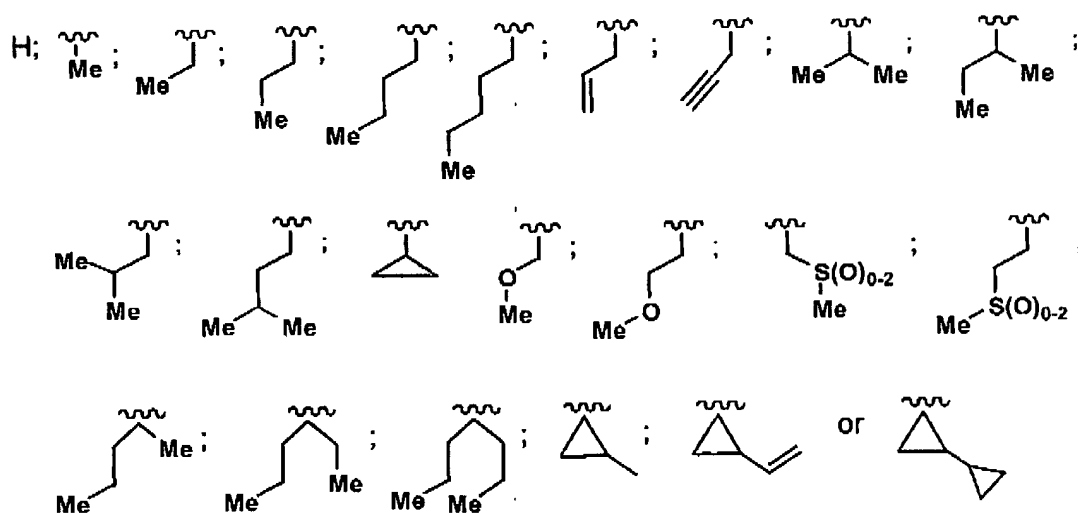
$Z_1 = S, Z_2 = CH_2$ ;  $Z^1 = CH_2, Z^2 = S$

$Z^3 = CH_2, S, SO_2, NH, NR^4$

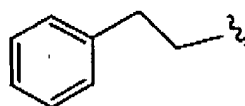
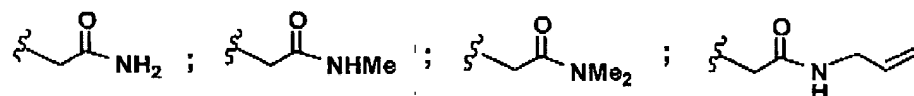
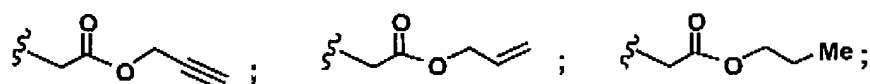
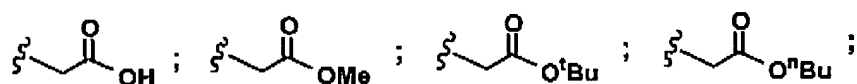
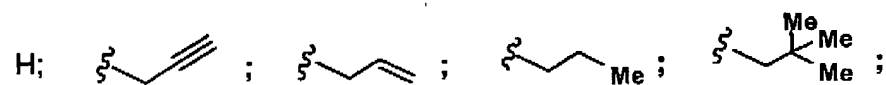
$Z^4 = Z^5 = S, O$

30. The compound of claim 16, wherein P1a and P1b are independently  
10 selected from the group consisting of:





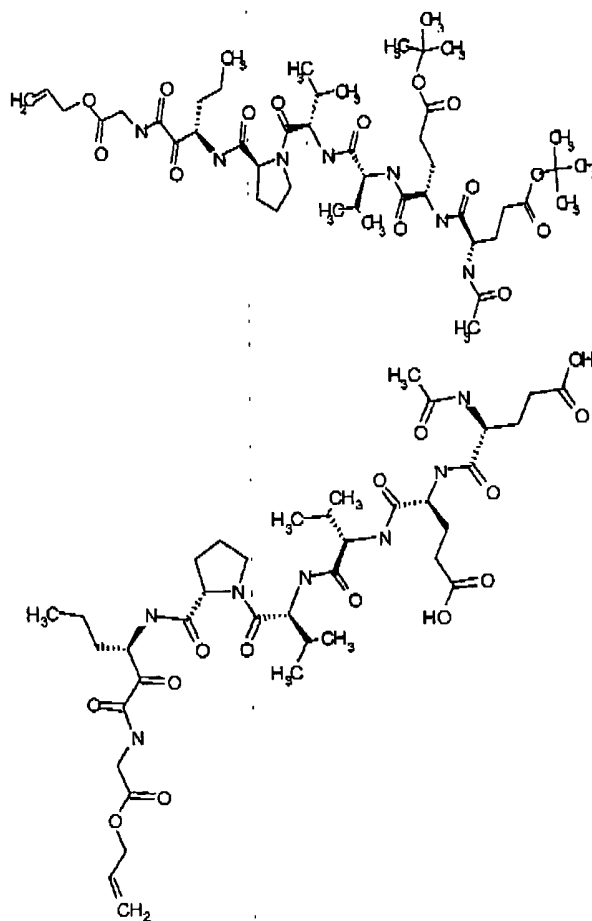
31. The compound of claim 16, wherein P1' is selected from the group consisting of:

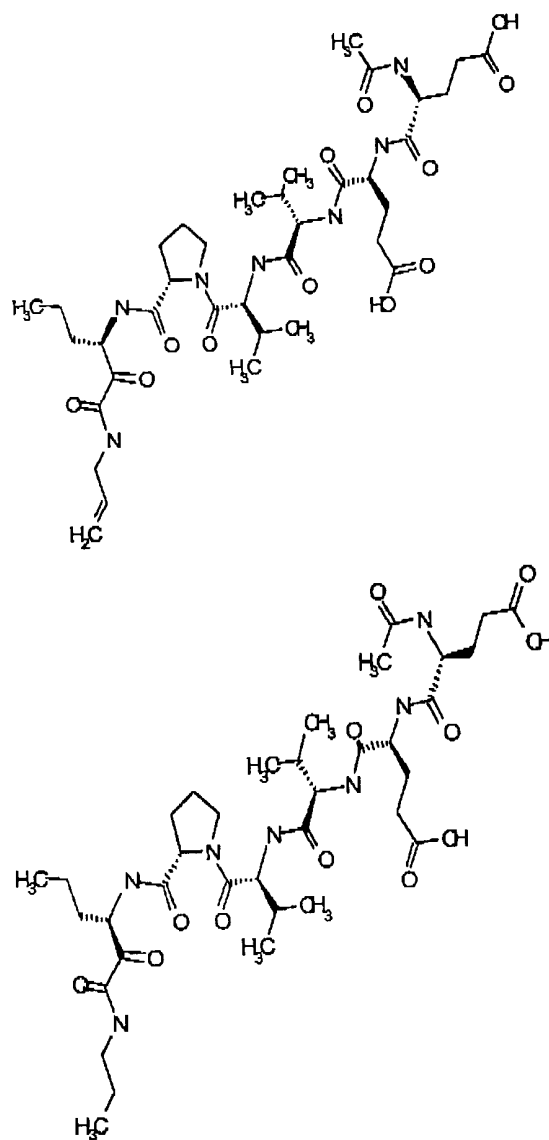


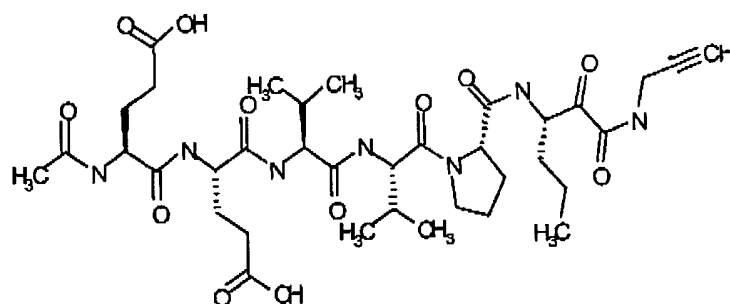
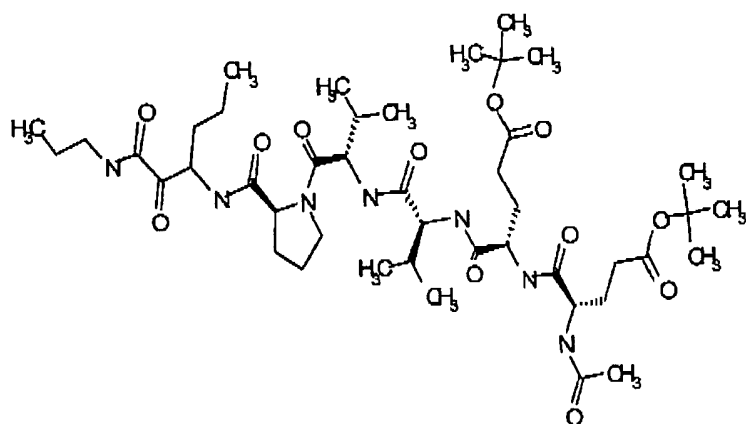
- 5 32. The compound of claim 16, wherein Z is NH.
33. A pharmaceutical composition comprising as an active ingredient a compound of claim 1 or claim 16.
35. The pharmaceutical composition of claim 33 additionally comprising a pharmaceutically acceptable carrier.

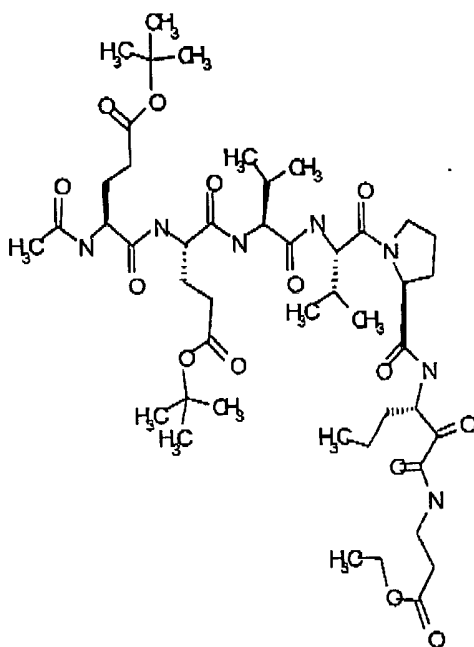
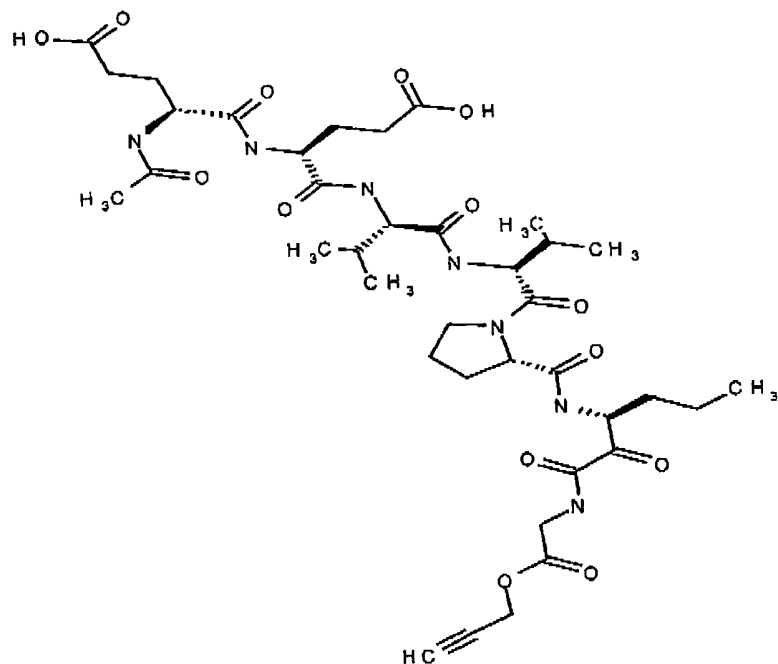
40. A compound exhibiting HCV protease inhibitory activity, including enantiomers, stereoisomers, rotamers and tautomers of said compound, and pharmaceutically acceptable salts or solvates of said compound, said compound being selected from the group of compounds with structures listed below:

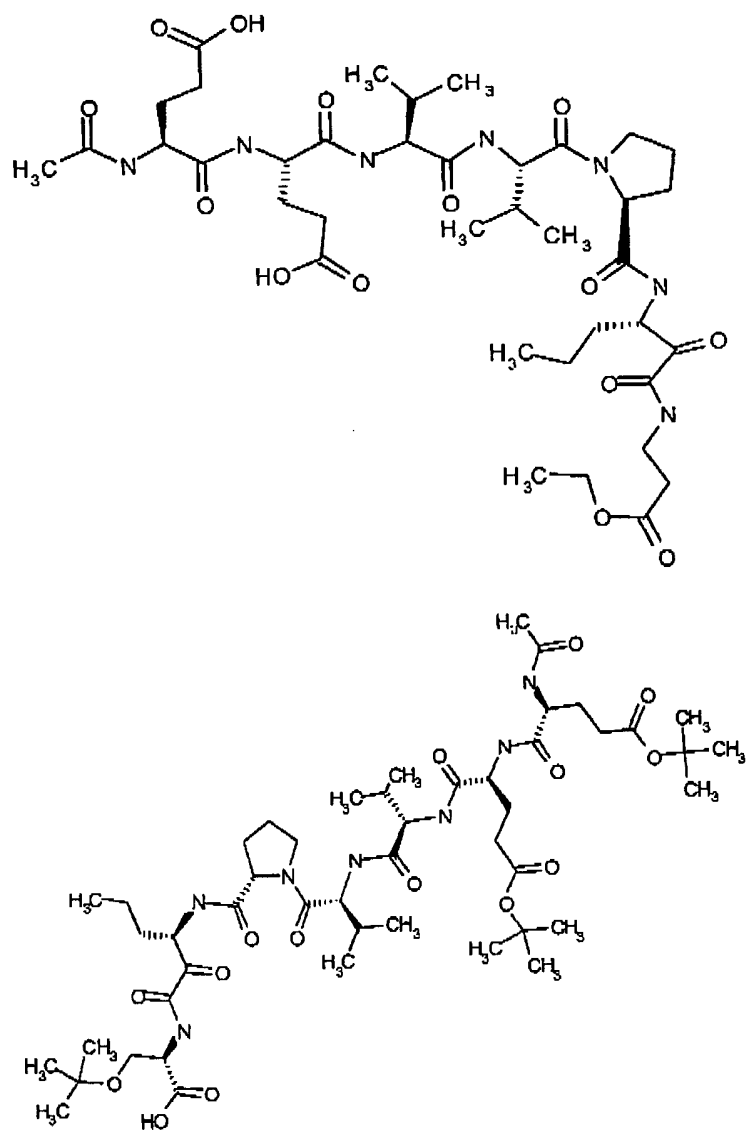
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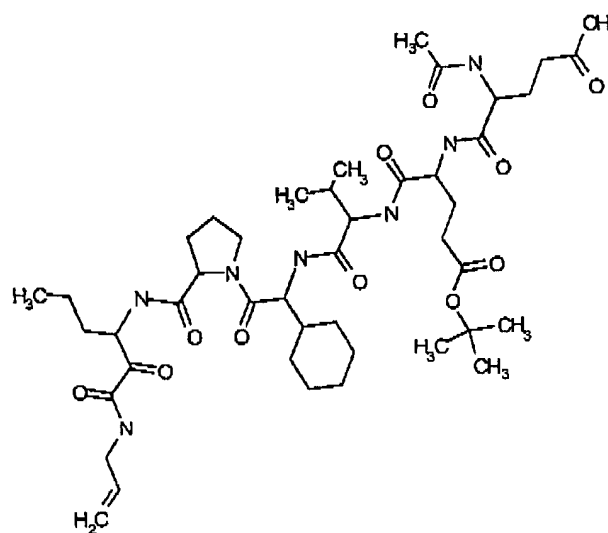
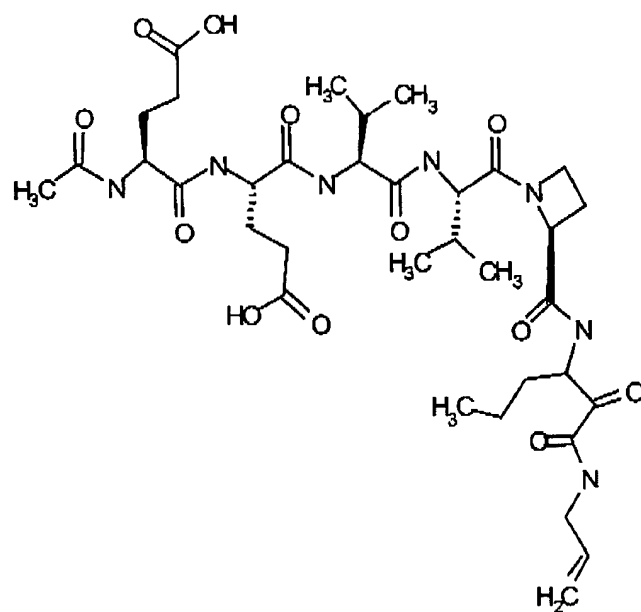


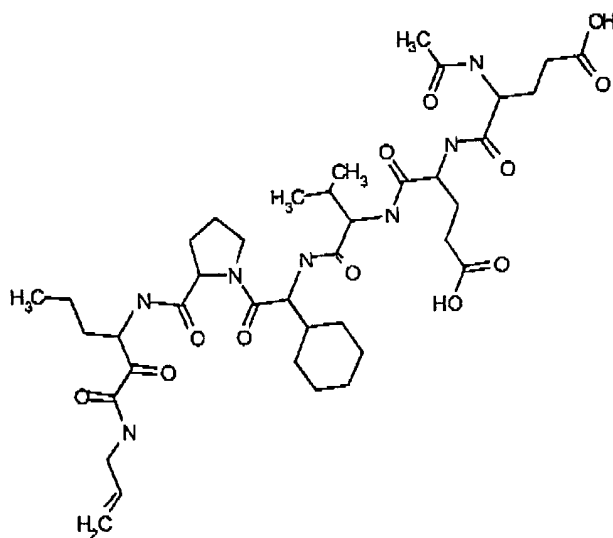
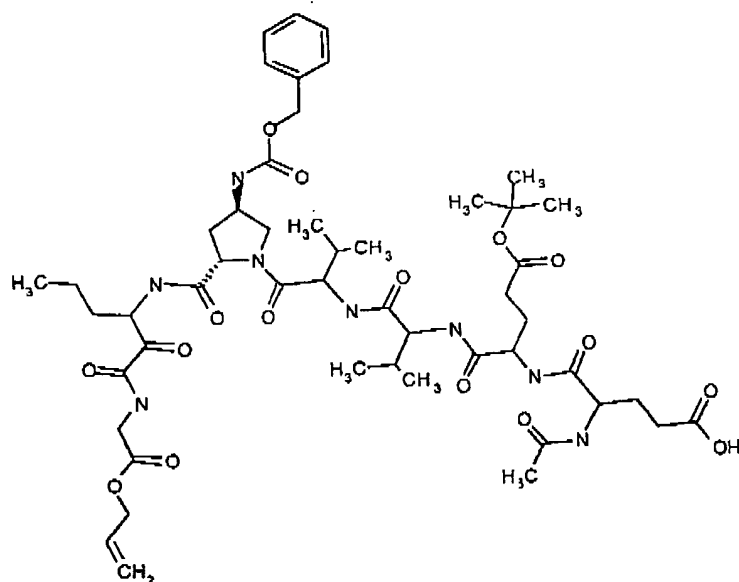




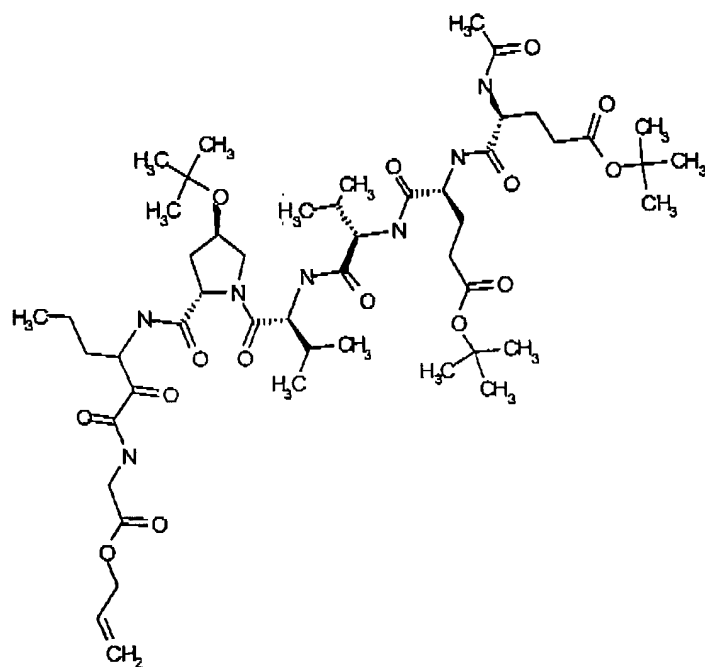
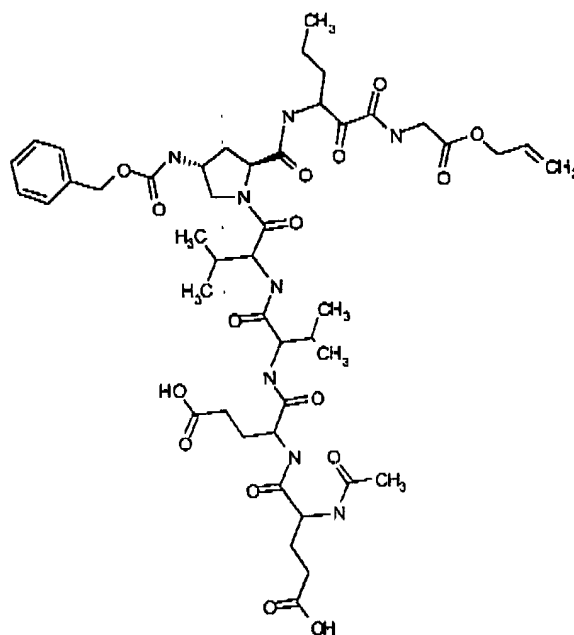


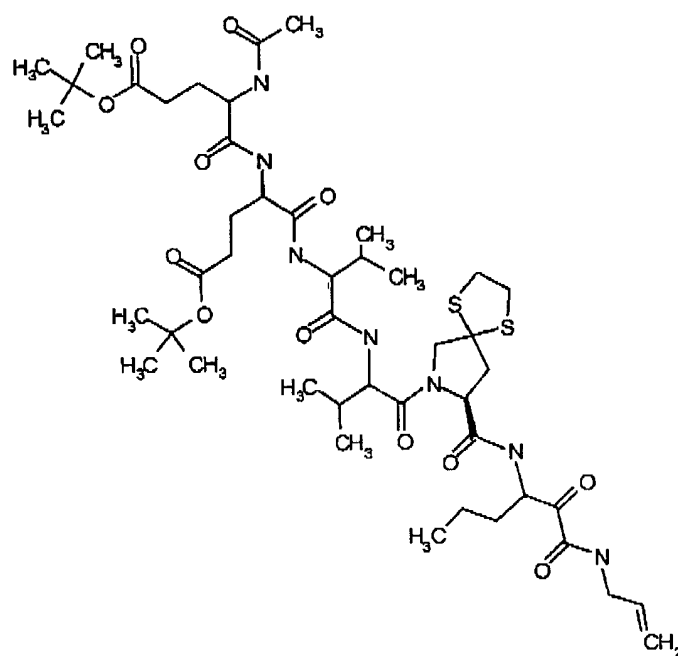
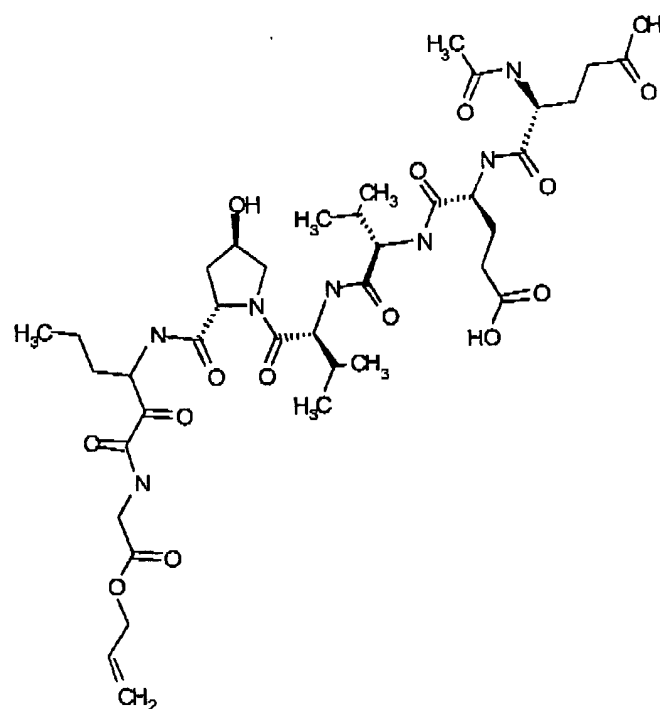


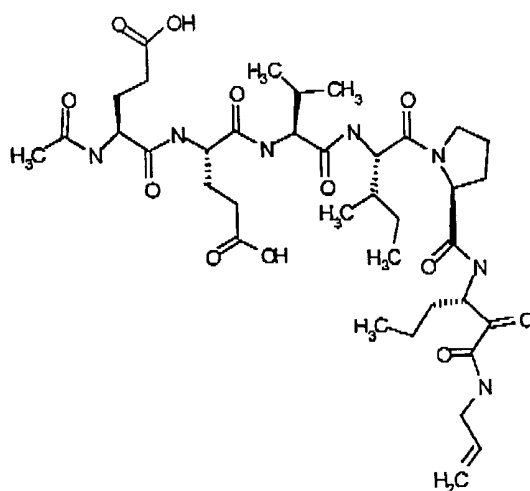
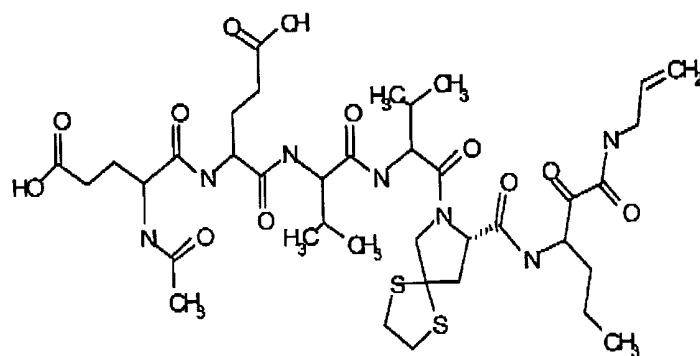
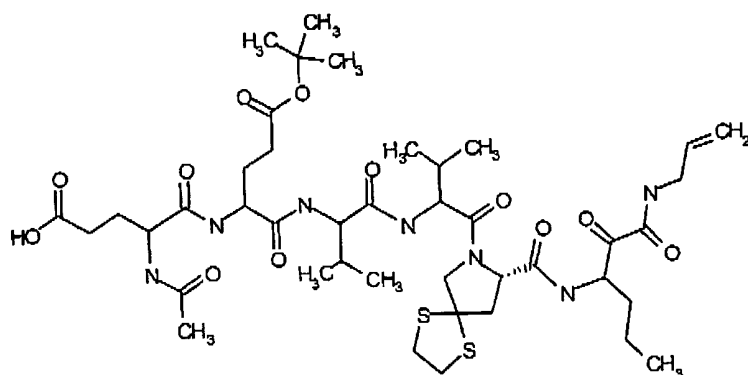


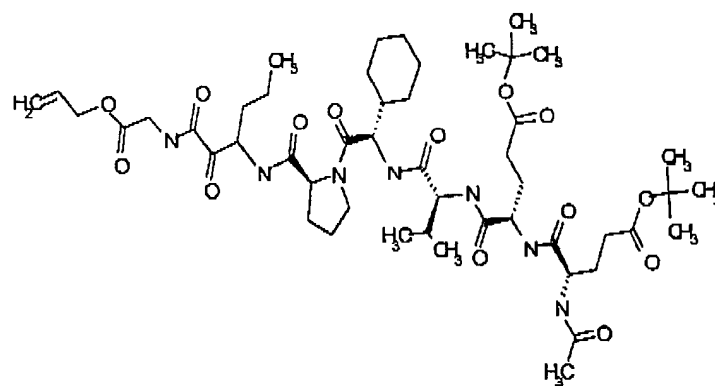
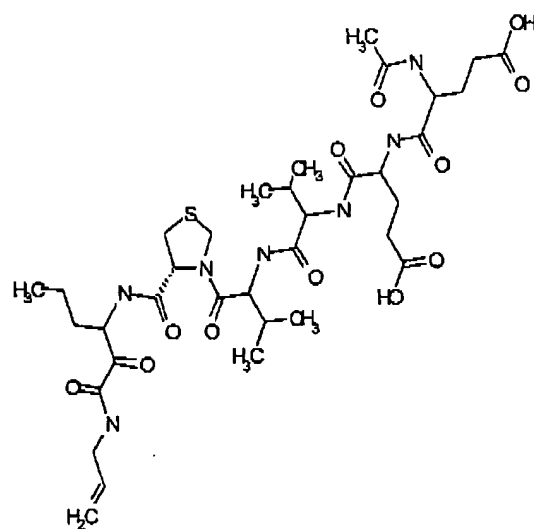
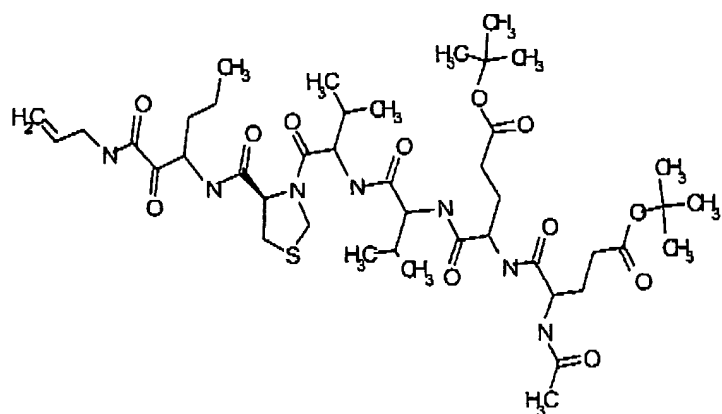


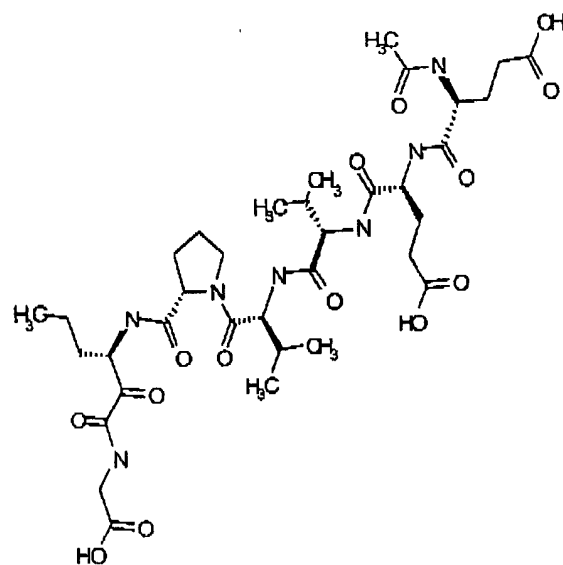
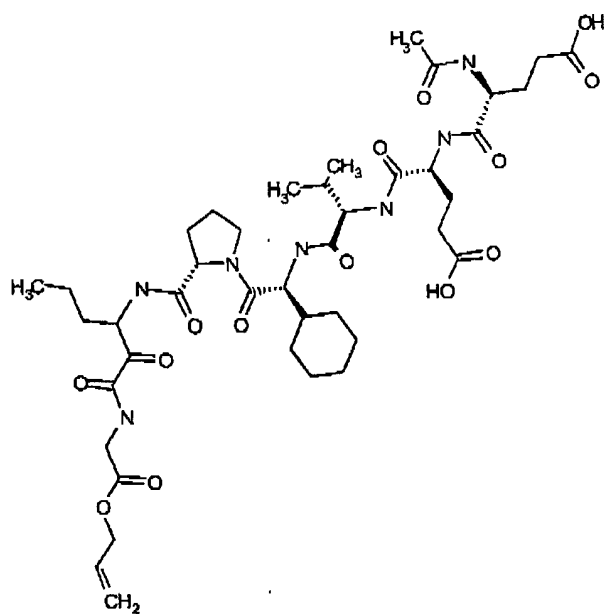


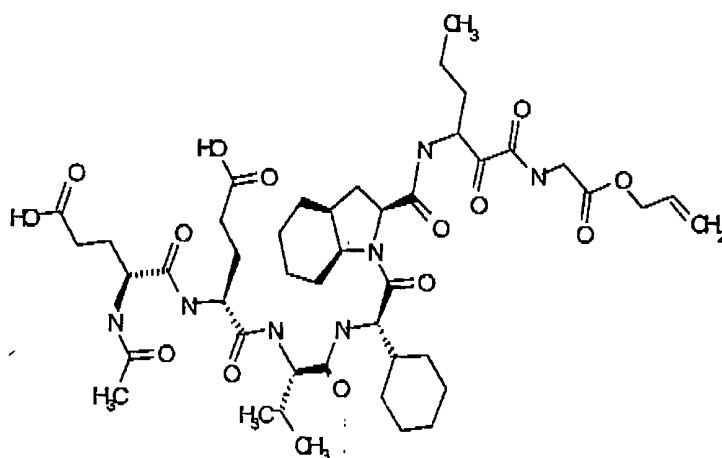
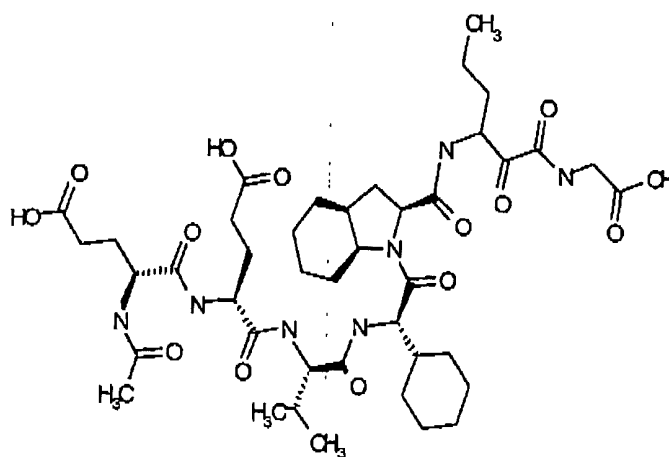
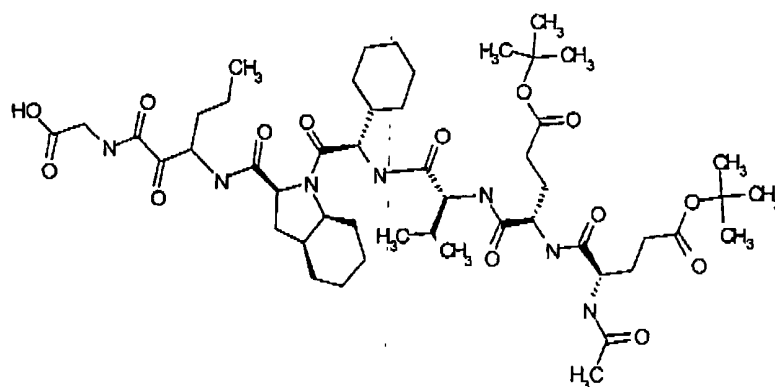


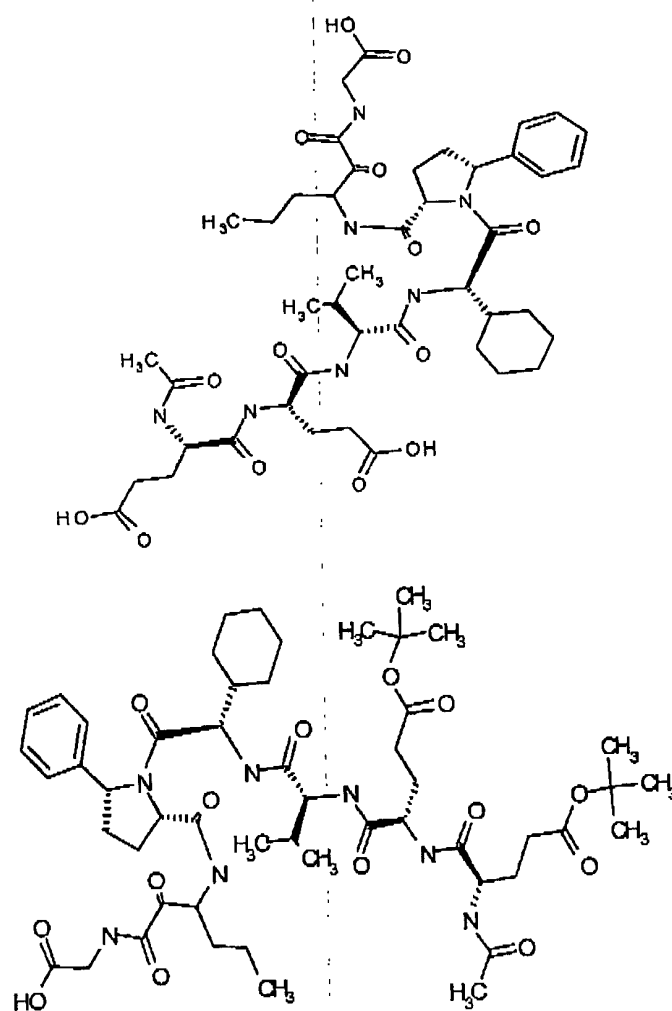


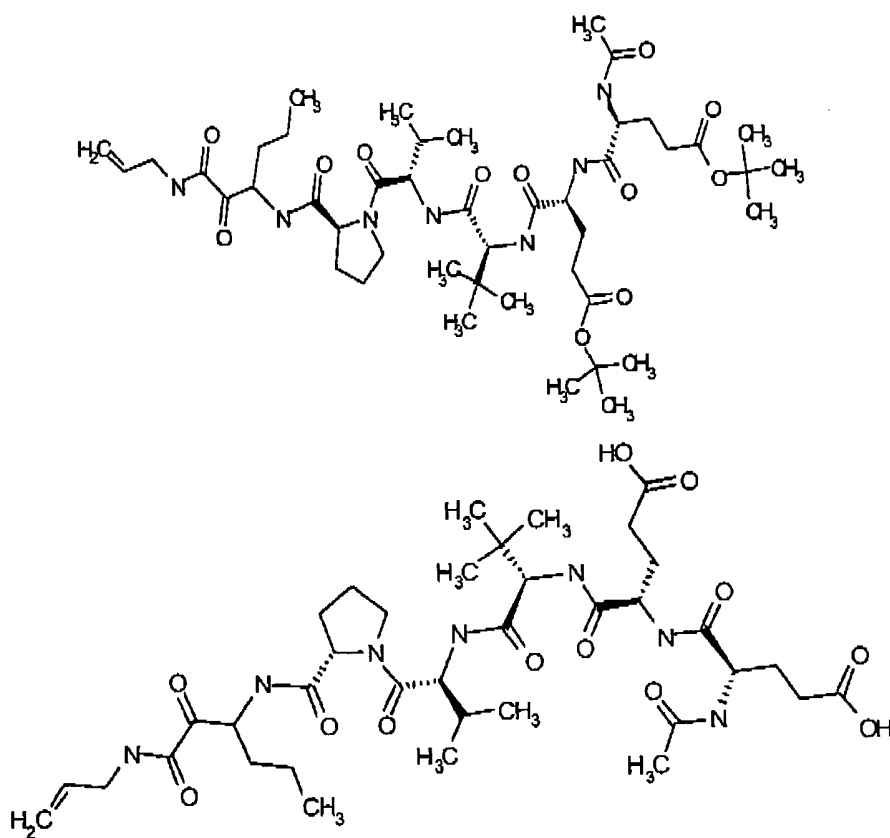
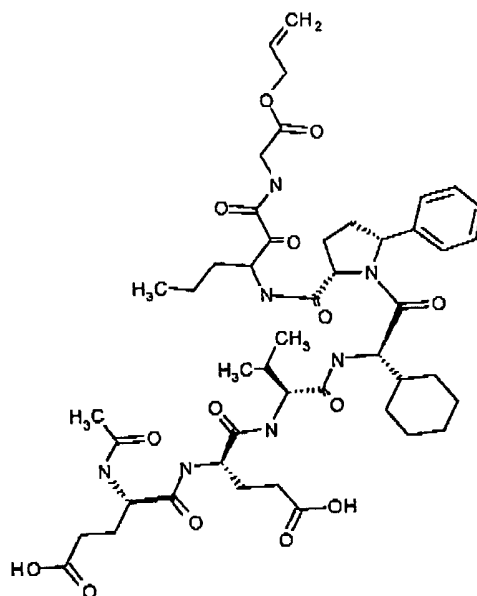




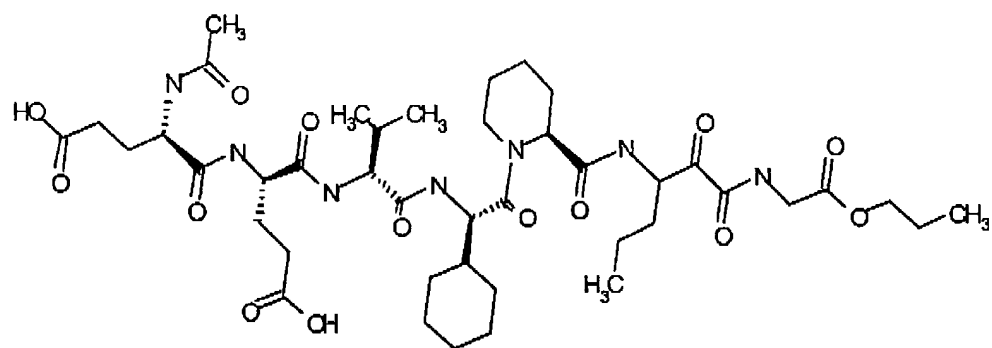
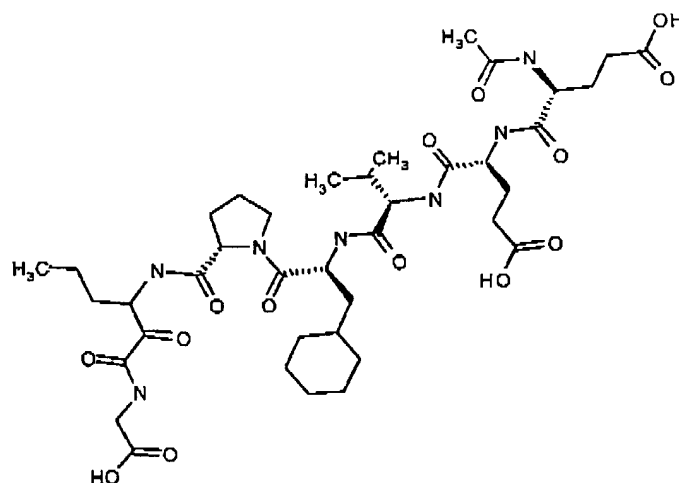
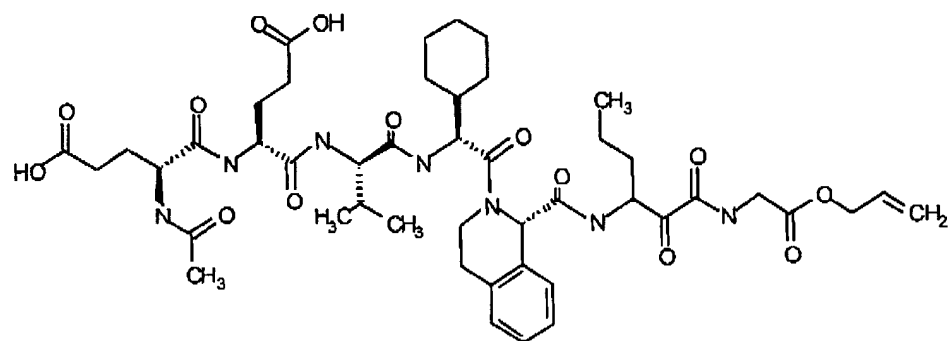


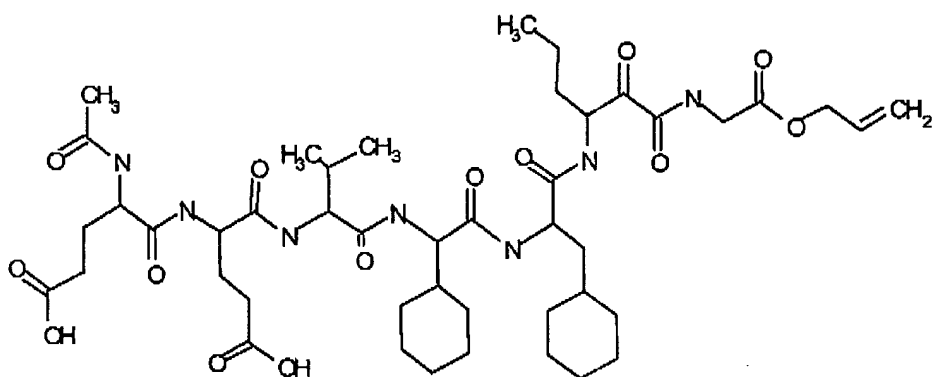
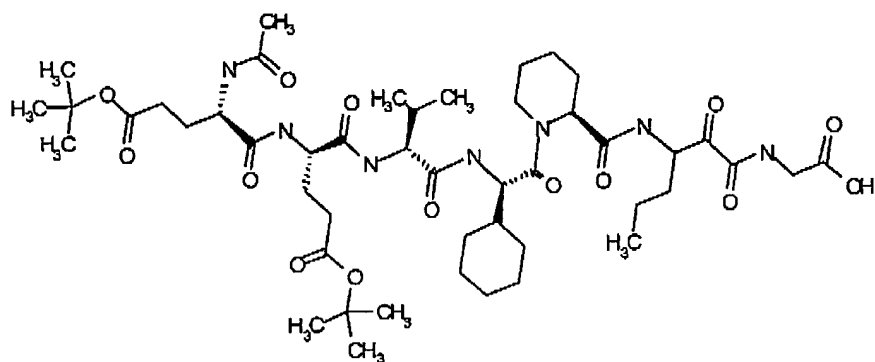
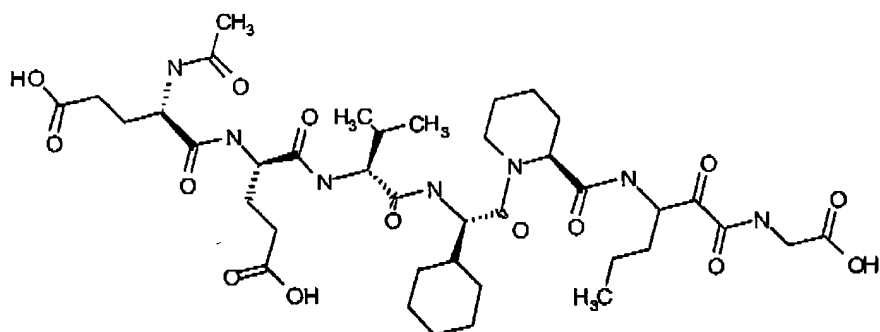


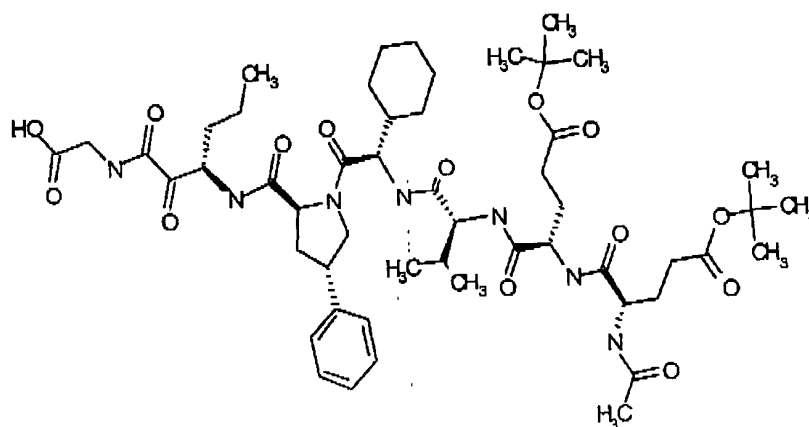
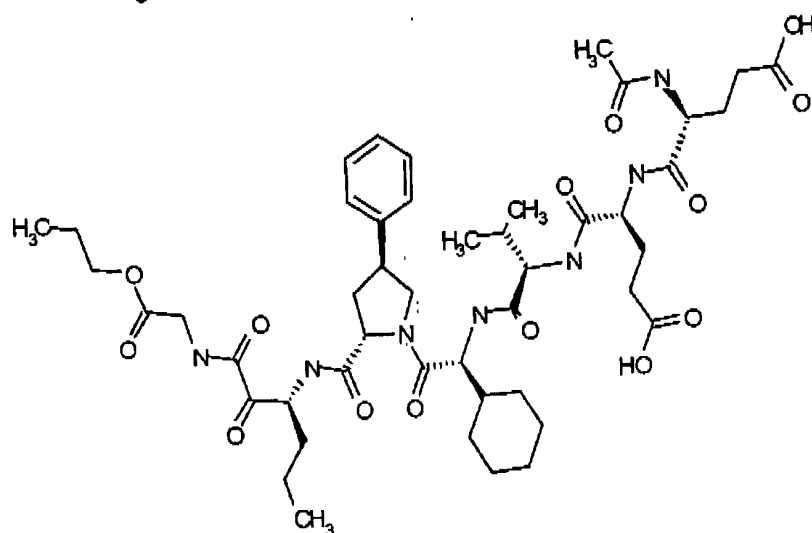
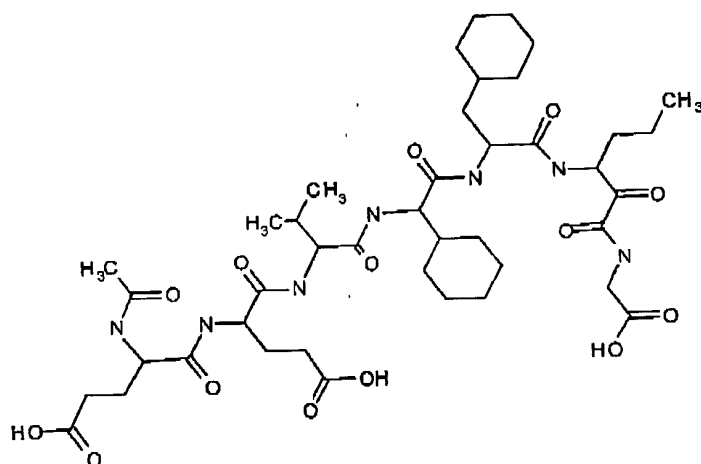


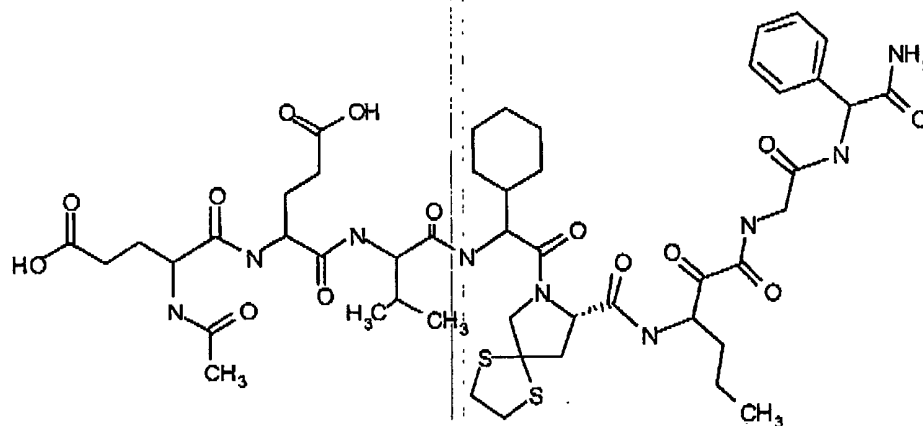
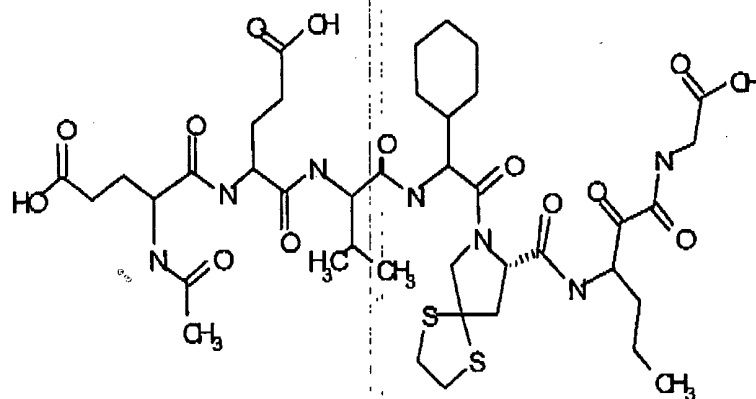
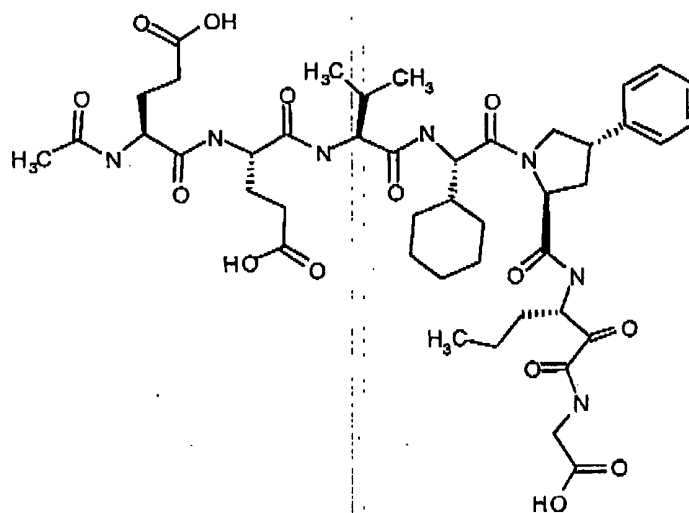


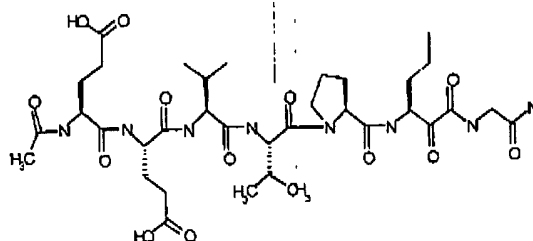
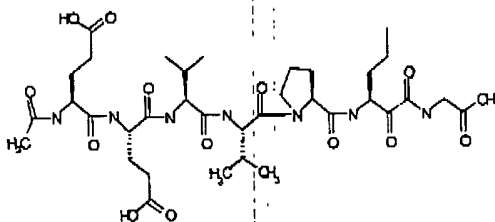
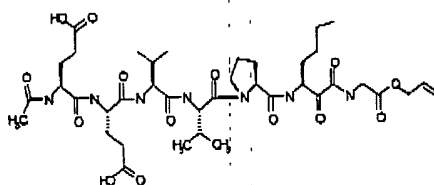
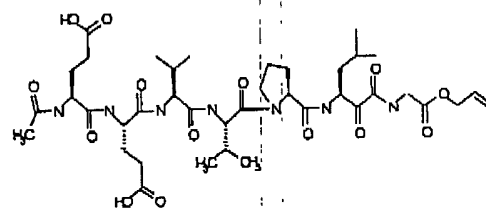
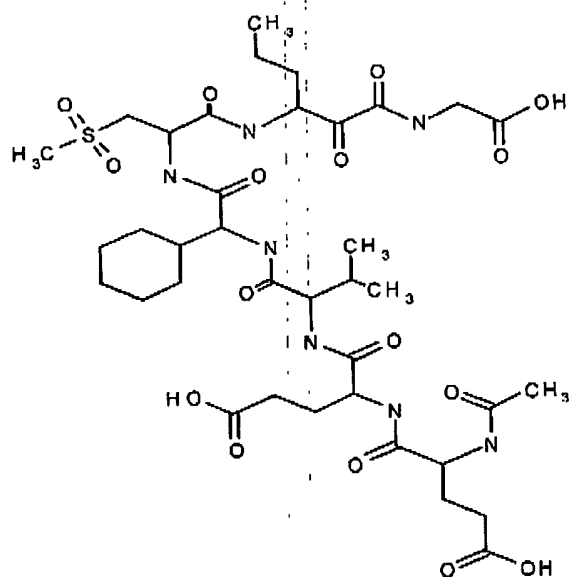


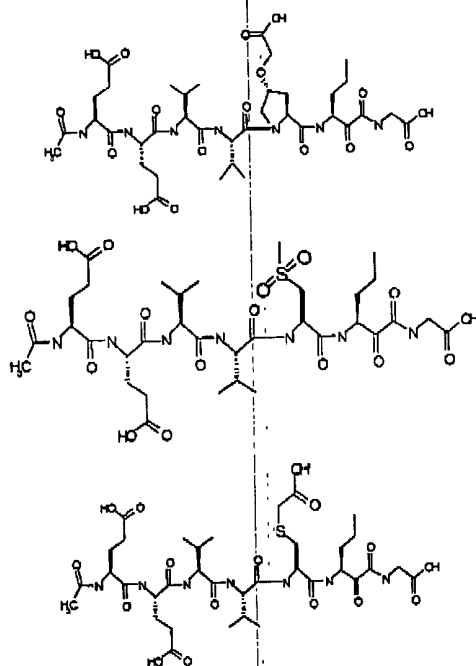




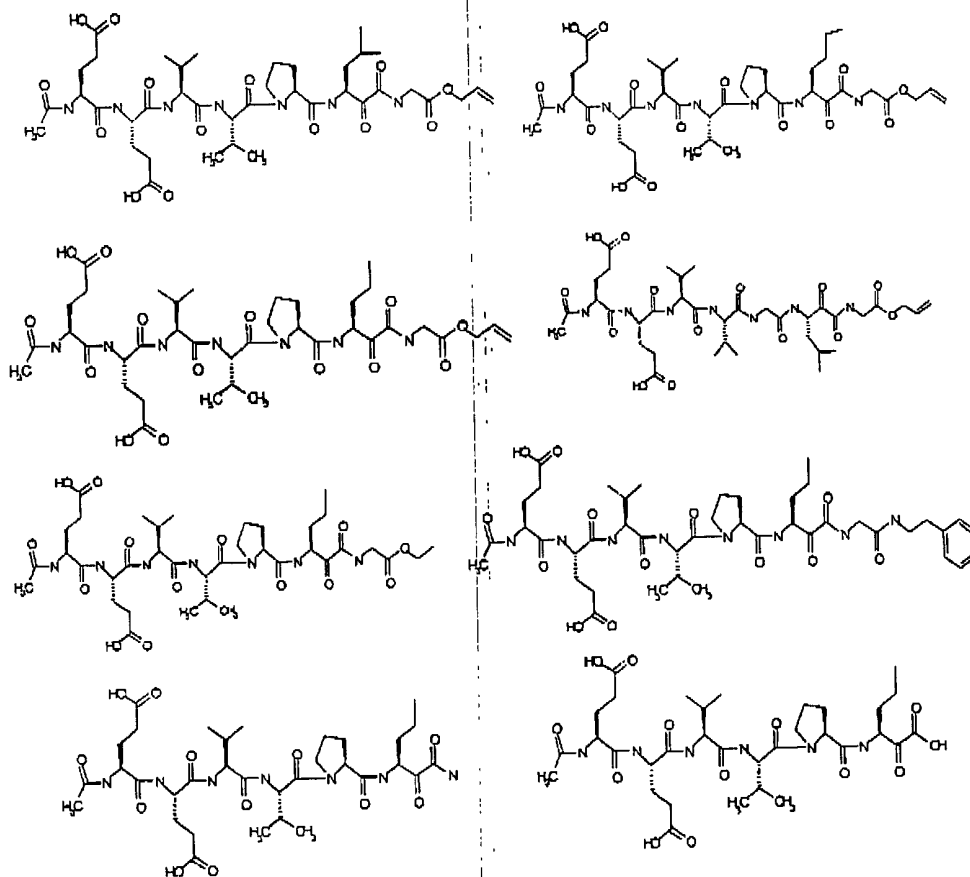






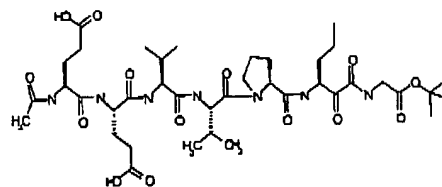
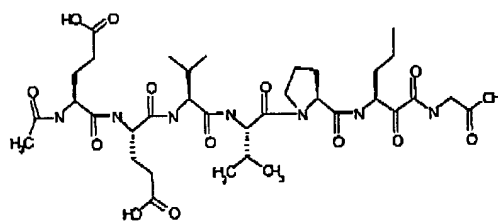


5 45. A compound selected from the group consisting of:

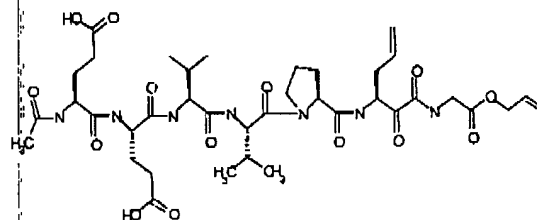
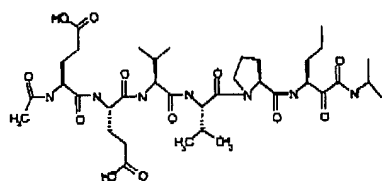


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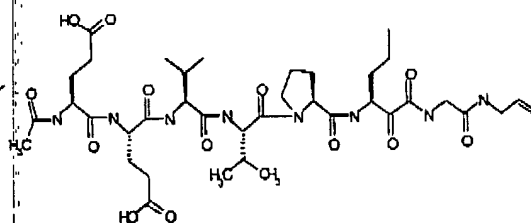
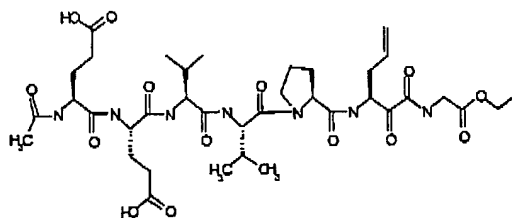
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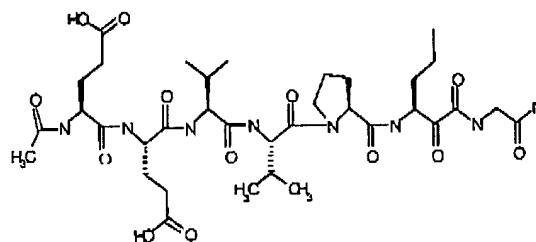
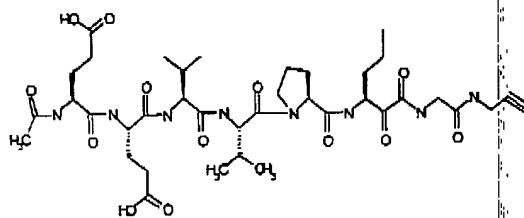
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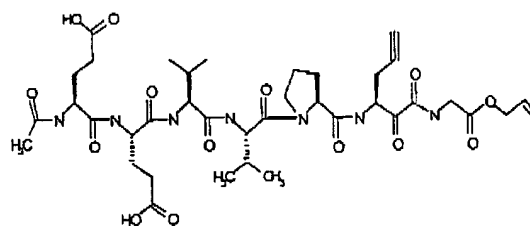
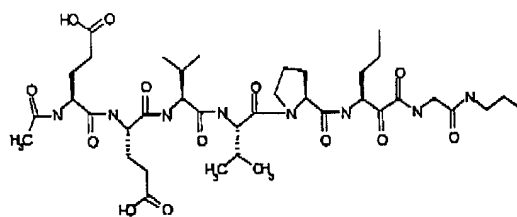
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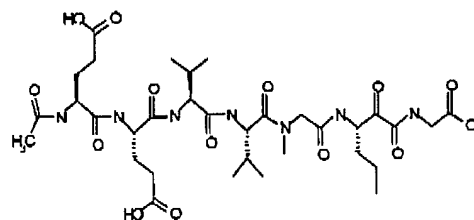
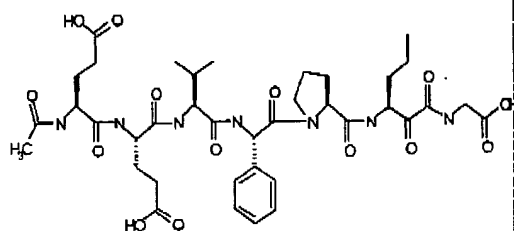
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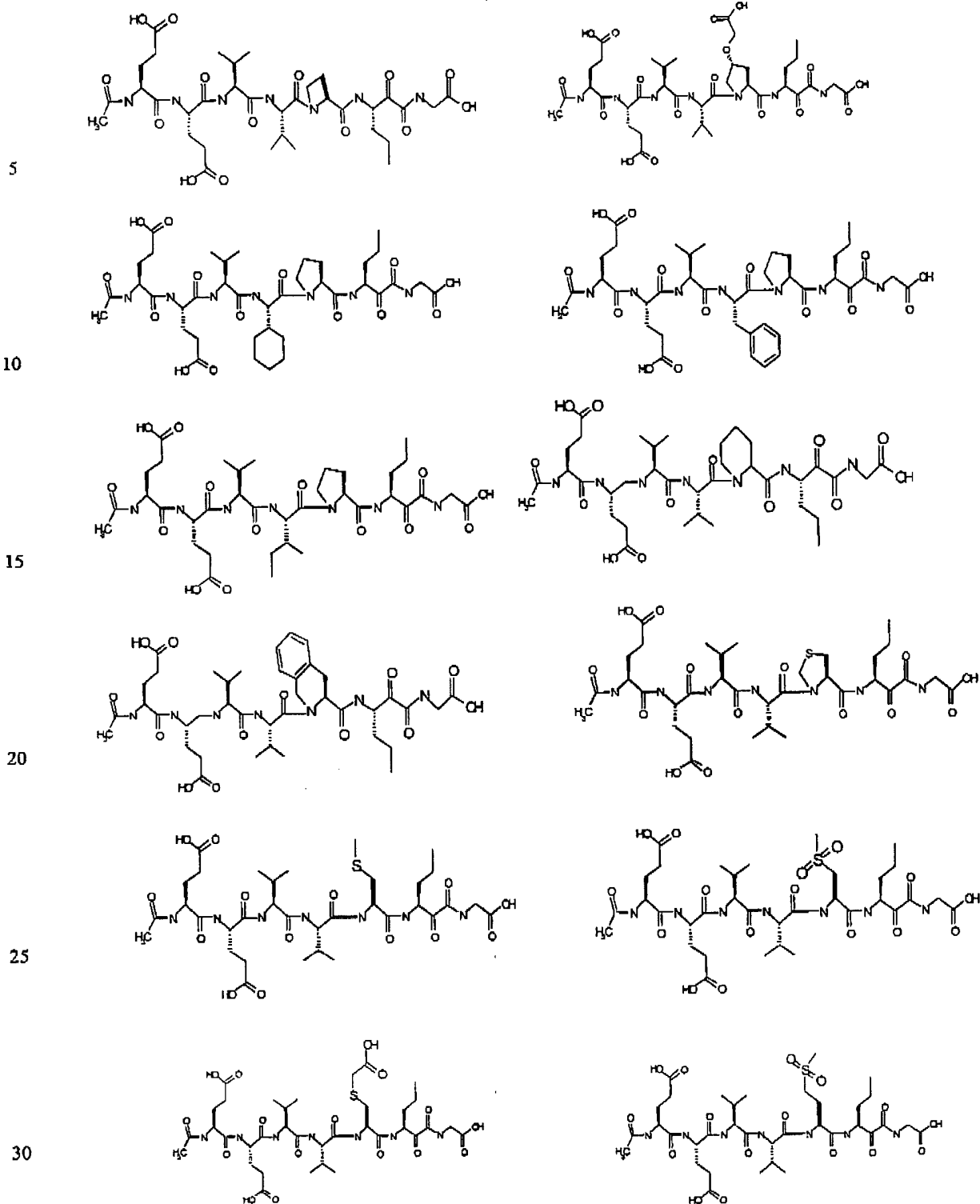


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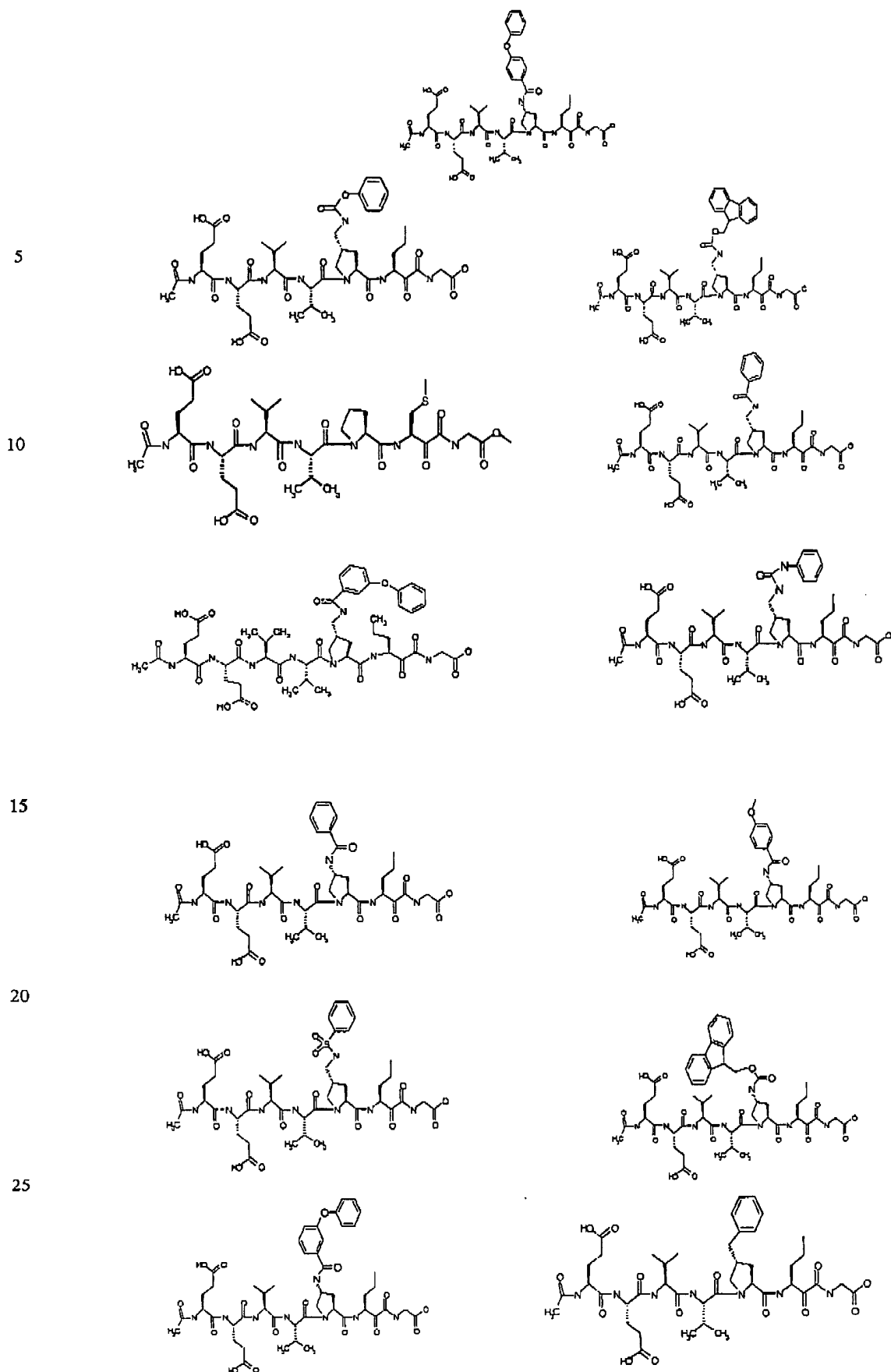


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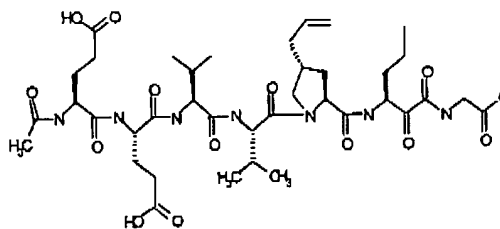
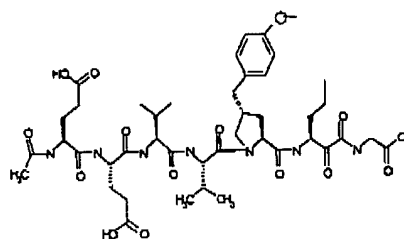




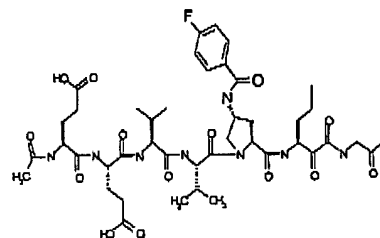
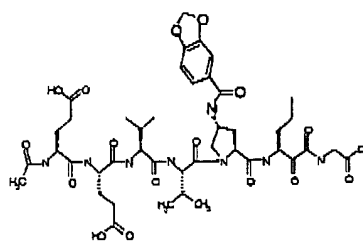




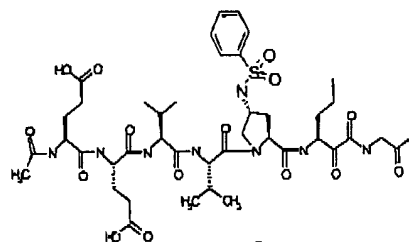
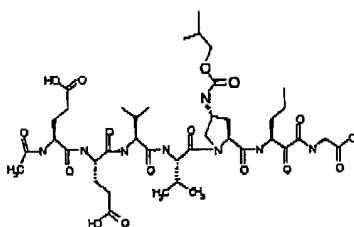
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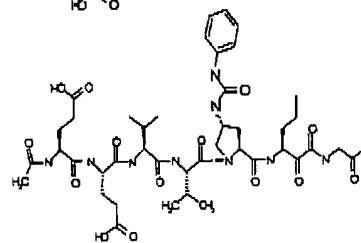
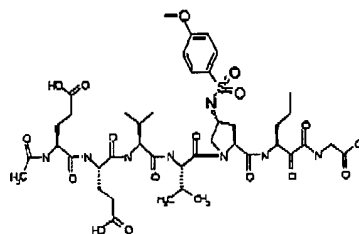
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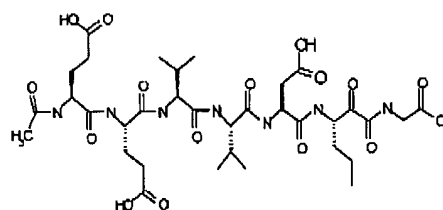
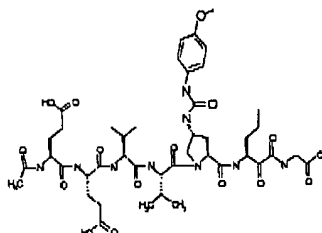
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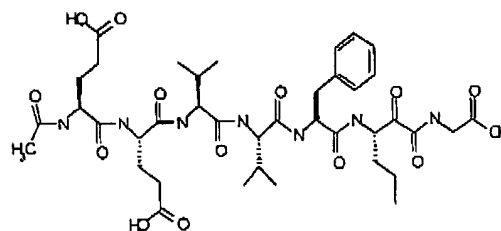
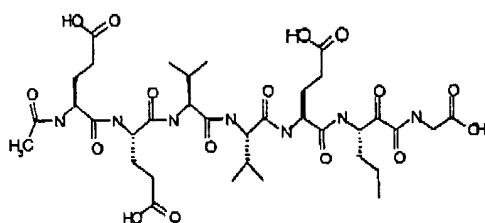
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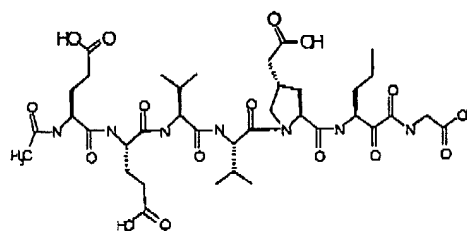
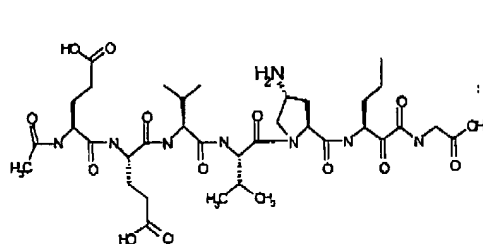


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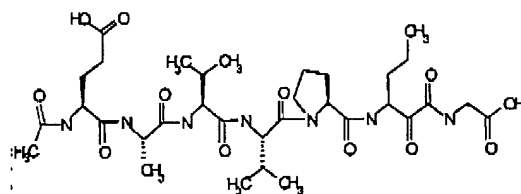
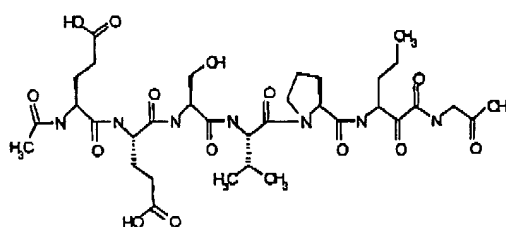


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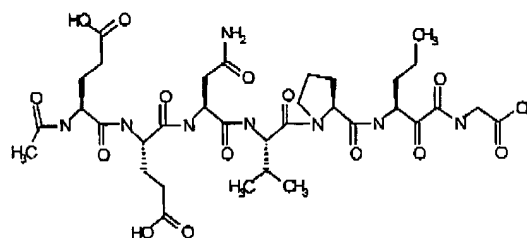
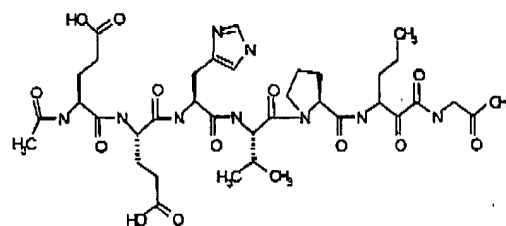
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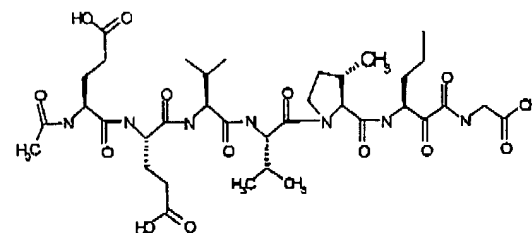
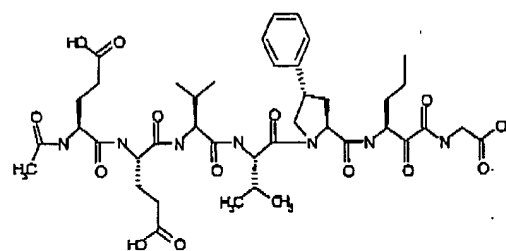
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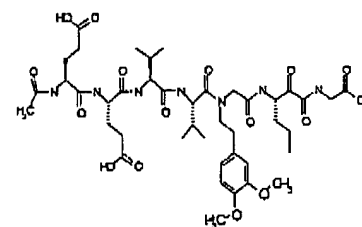
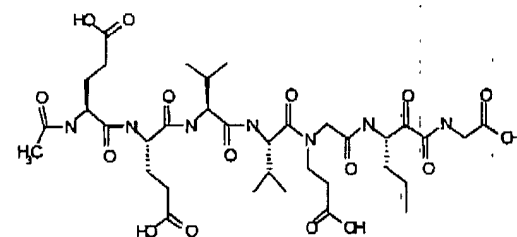
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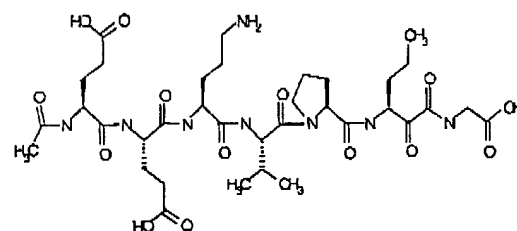
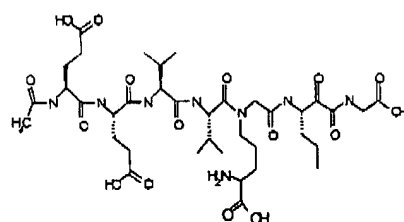
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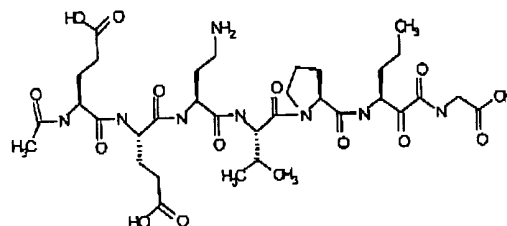
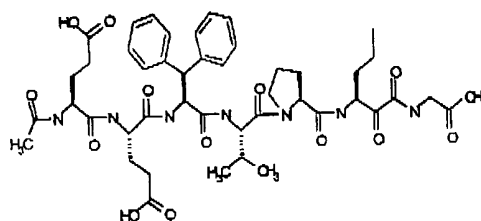


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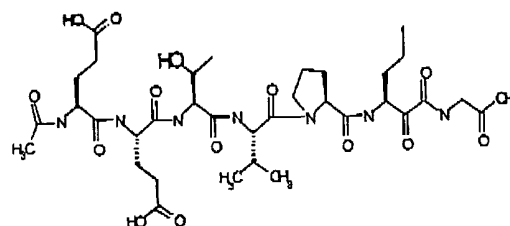
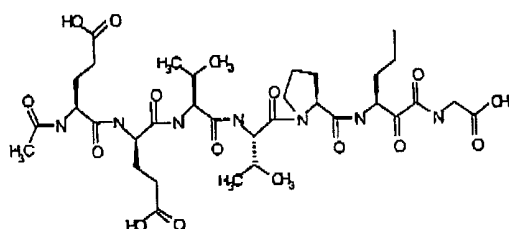


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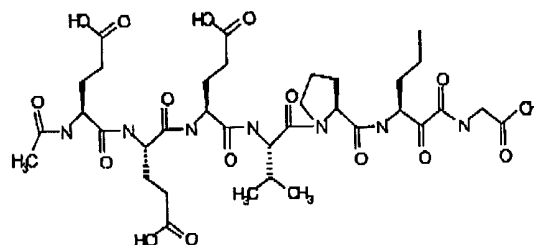
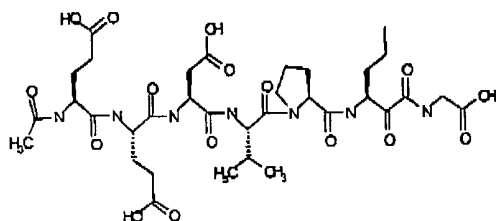
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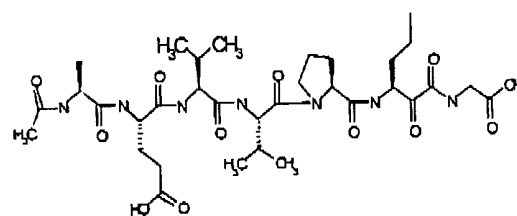
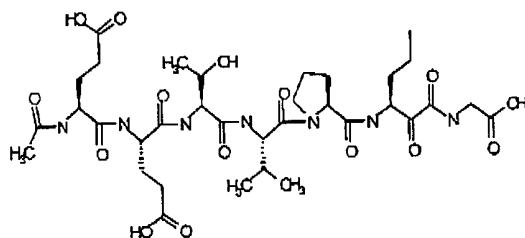
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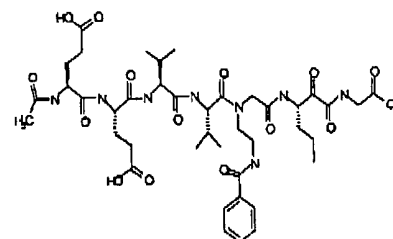
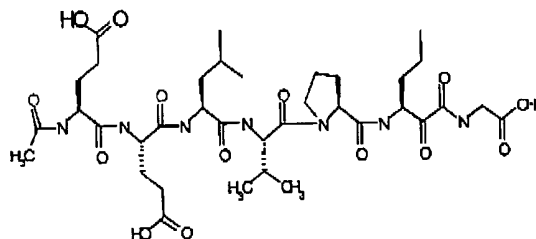
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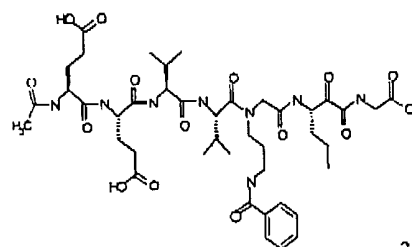
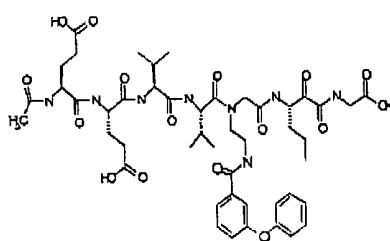
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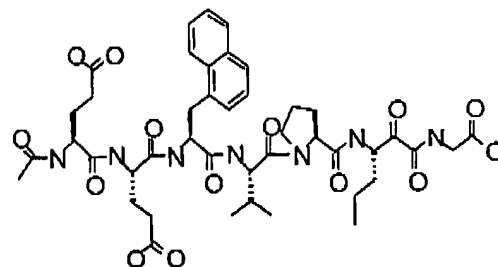
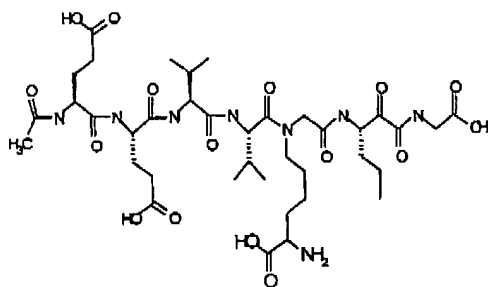


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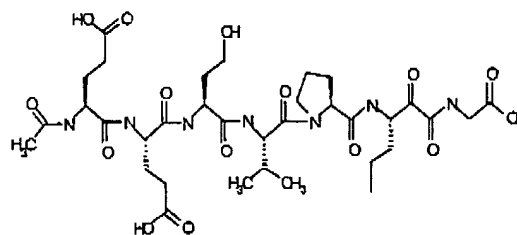
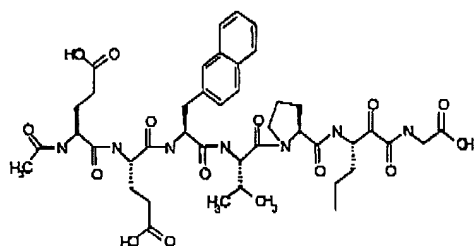


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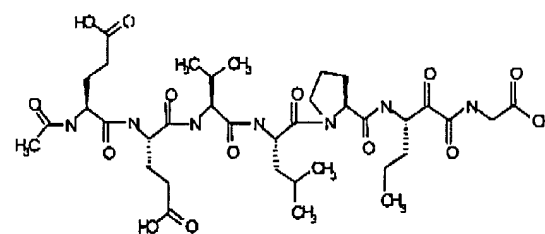
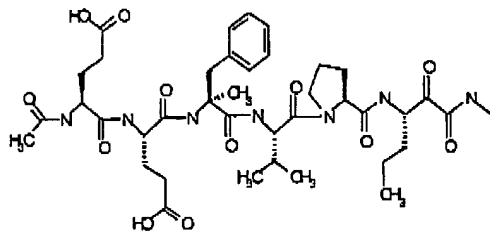
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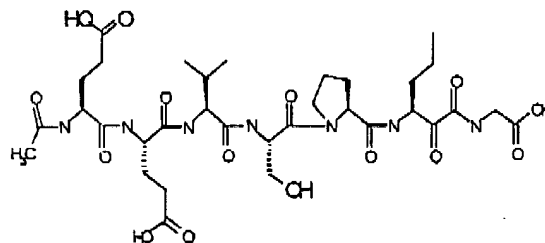
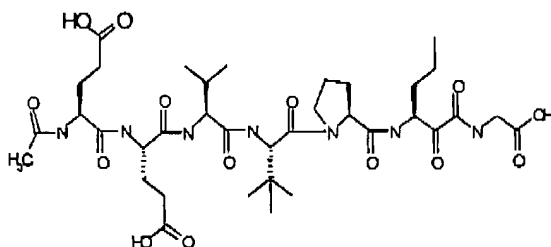
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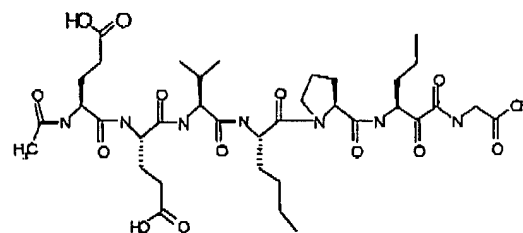
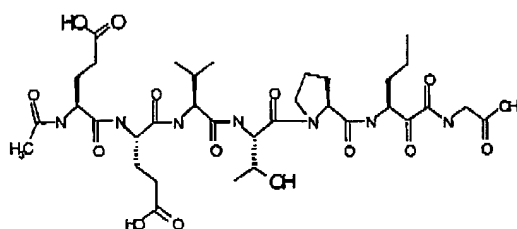
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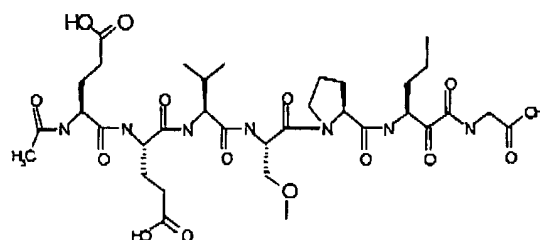
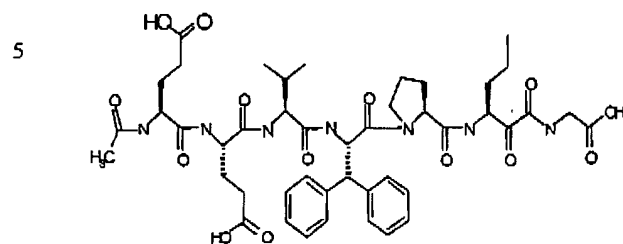
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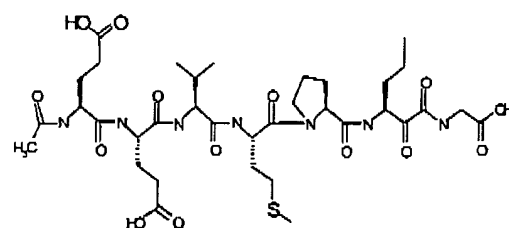
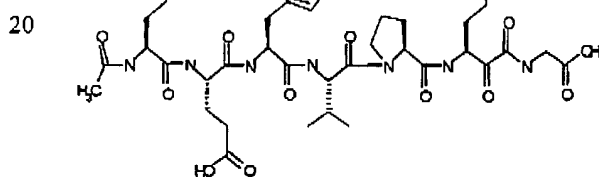
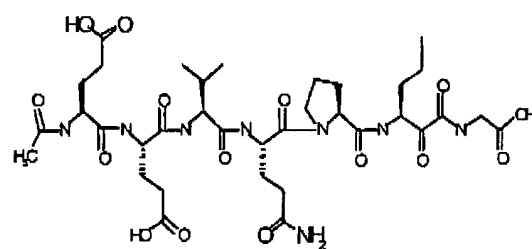
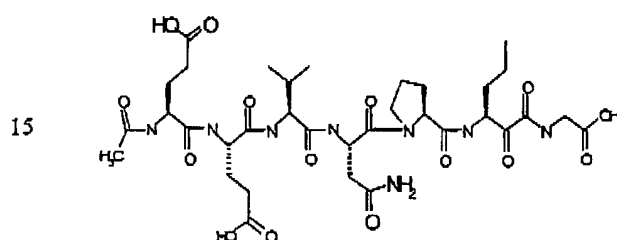
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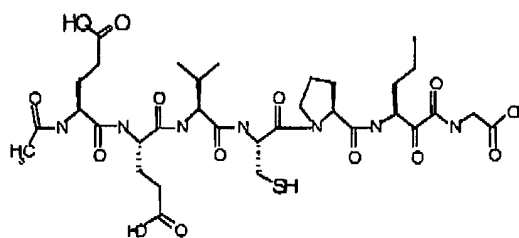
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and

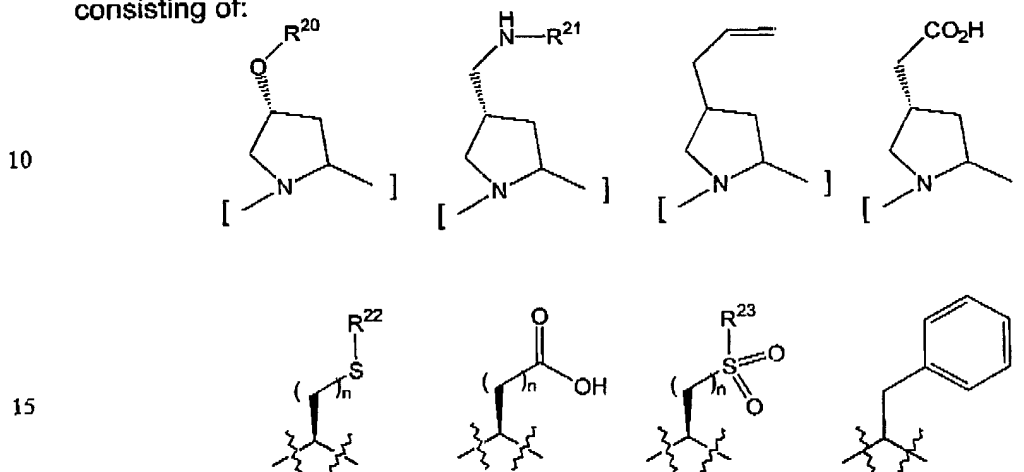
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or an enantiomer, stereoisomer, rotamer or tautomer thereof, or a pharmaceutically acceptable salt or solvate thereof, wherein the compound exhibits HCV inhibitory activity.

46. A pharmaceutical composition, comprising one or more compounds of claim 45.

53. The compound of claim 17, wherein P2 is selected from the group consisting of:



wherein:

n is 0, 1, 2 or 3;

20  $R^{20}$  is alkylene-COOH;

$R^{21}$  is C(O)alkyl, CO<sub>2</sub>alkyl, C(O)aryl, CO<sub>2</sub>aryl, SO<sub>2</sub>alkyl, SO<sub>2</sub>aryl, CONHalkyl, or CONHaryl;

$R^{22}$  is alkyl or alkylene-COOH; and

$R^{23}$  is alkyl.

25 54. The compound of claim 53, wherein:

$R^{20}$  is CH<sub>2</sub>COOH;

$R^{21}$  is CO<sub>2</sub>Ph, CPh, CO<sub>2</sub>CH<sub>2</sub>-9-fluorenyl, CO-(3-phenoxyphenyl), SO<sub>2</sub>Ph or CONHPh;

$R^{22}$  is methyl or CH<sub>2</sub>COOH; and

30  $R^{23}$  is methyl.